



STIC Search Report

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Art Unit: 1624
Friday, October 21, 2005

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(FILE 'HOME' ENTERED AT 11:42:34 ON 21 OCT 2005)

FILE 'HCAPLUS' ENTERED AT 11:42:41 ON 21 OCT 2005

L1 1 SEA ABB=ON PLU=ON (US2004186097 OR US6331545)/PN OR (US2004-8
09772# OR US99-465949#)/AP,PRN

FILE 'REGISTRY' ENTERED AT 11:44:55 ON 21 OCT 2005

L2 FILE 'HCAPLUS' ENTERED AT 11:44:55 ON 21 OCT 2005
TRA L1 1- RN : 211 TERMS

FILE 'REGISTRY' ENTERED AT 11:44:56 ON 21 OCT 2005

L3 211 SEA ABB=ON PLU=ON L2

FILE 'WPIX' ENTERED AT 11:45:02 ON 21 OCT 2005

L4 1 SEA ABB=ON PLU=ON (US2004186097 OR US6331545)/PN OR (US2004-8
09772# OR US99-465949#)/AP,PRN

=> b hcap;d all l1 tot

FILE 'HCAPLUS' ENTERED AT 11:45:38 ON 21 OCT 2005

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FILE COVERS 1907 - 21 Oct 2005 VOL 143 ISS 18

FILE LAST UPDATED: 20 Oct 2005 (20051020/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

L1 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 2000:421105 HCAPLUS

DN 133:58720

ED Entered STN: 23 Jun 2000

TI Preparation of heterocyclic piperidines as modulators of chemokine
receptor activity

IN Ko, Soo S.; Delucca, George V.; Duncia, John V.; Santella, Joseph B., III;
Wacker, Dean A.

PA Du Pont Pharmaceuticals Co., USA

SO PCT Int. Appl., 219 pp.

CODEN: PIXXD2

DT Patent

LA English

IC ICM C07D211-26

ICS C07D403-06; C07D409-14; A61K031-445; A61K031-47; A61K031-495

CC 27-17 (Heterocyclic Compounds (One Hetero Atom))

Section cross-reference(s): 1, 63

FAN.CNT 1

PATENT NO.

KIND

DATE

APPLICATION NO.

DATE

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PI   WO 2000035877      A1    20000622      WO 1999-US30314      19991217
      W:  AL, AU, BR, CA, CN, CZ, EE, HU, IL, IN, JP, KR, LT, LV, MK, MX,
          NO, NZ, PL, RO, SG, SI, SK, TR, UA, VN, ZA, AM, AZ, BY, KG, KZ,
          MD, RU, TJ, TM
      RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
          PT, SE
      CA 2347912          AA    20000622      CA 1999-2347912      19991217
      EP 1140834          A1    20011010      EP 1999-964293      19991217
      R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
          IE, SI, LT, LV, FI, RO
      US 6331545          B1    20011218      US 1999-465949      19991217 <--
      US 2002119980      A1    20020829      US 2001-981833      20011018 <--
      US 6759411          B2    20040706
      US 2004186097      A1    20040923      US 2004-809772      20040325 <--
PRAI US 1998-112714P    P      19981218
      US 1999-465949      A3    19991217      <--
      WO 1999-US30314      W      19991217

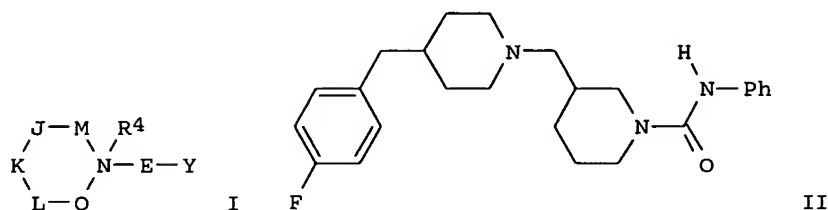
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CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 2000035877	ICM ICS	C07D211-26 C07D403-06; C07D409-14; A61K031-445; A61K031-47; A61K031-495
WO 2000035877	ECLA	C07D211/26; C07D401/14+231+211+207; C07D401/14+235C+211+211; C07D401/14+231+211+211; C07D405/14+307B+211+207; C07D405/14+307B+211+211; C07D409/14+333B+241B+211; C07D409/14+333B+211+207; C07D409/14+333B+211+211; C07D413/06+265D+211; C07D413/14+263+211+207; C07D413/14+263+211+211; C07D417/14+277B+211+207; C07D417/14+277B+211+211; C07D401/06+241B+211; C07D401/14+211+209C+207; C07D401/14+213+211+207; C07D401/14+211+211+209C; C07D401/14+213+211+211; C07D401/14+235C+211+207
US 6331545	NCL ECLA	514/253.010; 514/227.800; 514/231.500; 514/254.010; 514/307.000; 514/316.000; 544/060.000; 544/129.000; 544/141.000; 544/360.000; 544/364.000; 544/365.000; 544/372.000; 546/146.000; 546/186.000; 546/190.000; 546/191.000 C07D211/26; C07D401/06+241B+211; C07D401/14+213+211+211; C07D401/14+211+211+209C; C07D401/14+213+211+207; C07D401/14+211+209C+207; C07D401/14+231+211+211; C07D401/14+235C+211+211; C07D401/14+231+211+207; C07D401/14+235C+211+207; C07D405/14+307B+211+211; C07D405/14+307B+211+207; C07D409/14+333B+211+211; C07D409/14+333B+211+207; C07D409/14+333B+241B+211; C07D413/06+265D+211; C07D413/14+263+211+211; C07D413/14+263+211+207; C07D417/14+277B+211+211; C07D417/14+277B+211+207 <--
US 2002119980	NCL ECLA	514/253.010 C07D211/26; C07D401/14+235C+211+211; C07D401/14+231+211+207; C07D401/14+235C+211+207; C07D405/14+307B+211+211; C07D405/14+307B+211+207; C07D409/14+333B+211+211; C07D409/14+333B+211+207; C07D409/14+333B+241B+211; C07D413/06+265D+211; C07D413/14+263+211+211; C07D413/14+263+211+207; C07D417/14+277B+211+211; C07D417/14+277B+211+207; C07D401/06+241B+211; C07D401/14+213+211+211; C07D401/14+211+211+209C; C07D401/14+213+211+207; C07D401/14+211+209C+207; C07D401/14+231+211+211 <--
US 2004186097	NCL ECLA	514/217.120 C07D211/26; C07D401/06+241B+211; C07D401/14+211+209C+207; C07D401/14+211+211+209C; C07D401/14+213+211+207; C07D401/14+213+211+211; C07D401/14+231+211+207; C07D401/14+231+211+211; C07D401/14+235C+211+207; C07D401/14+235C+211+211;

C07D405/14+307B+211+207; C07D405/14+307B+211+211;
 C07D409/14+333B+211+207; C07D409/14+333B+211+211;
 C07D409/14+333B+241B+211; C07D413/06+265D+211;
 C07D413/14+263+211+207; C07D413/14+263+211+211;
 C07D417/14+277B+211+207; C07D417/14+277B+211+211 <--

OS MARPAT 133:58720
 GI



AB The title compds. [I; M = absent, CH₂, (4-FC₆H₄CH₂)CH, etc.; Q = CH₂, (4-FC₆H₄CH₂)CH, etc.; J, K, L = CH₂, (4-FC₆H₄CH₂)CH, etc.; E = CH₂, (CH₂)₂, etc.; Y = piperidiny, piperaziny, isoquinolinyl, etc. (N-substituted with CONHPh, COPh, etc.); R₄ = absent, alkyl, alkenyl, etc.], modulators of CCR3 useful for the prevention of asthma and other allergic diseases, were prepared and formulated. E.g., a multi-step synthesis of II was given. Compds. I are effective at 1.0-20 mg/kg/day.

ST heterocyclic piperidine prepn formulation chemokine CCR3 modulator; antiasthmatic heterocyclic piperidine prepn formulation; allergy inhibitor heterocyclic piperidine prepn formulation; antiinflammatory heterocyclic piperidine prepn formulation

IT Allergy inhibitors
 Anti-inflammatory agents
 Antiasthmatics
 (preparation of heterocyclic piperidines as modulators of chemokine receptor activity)

IT Chemokine receptors
 RL: BSU (Biological study, unclassified); MSC (Miscellaneous); BIOL (Biological study)
 (β chemokine receptor CCR3; preparation of heterocyclic piperidines as modulators of chemokine receptor activity)

IT 276872-71-0P 276872-72-1P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (preparation of heterocyclic piperidines as modulators of chemokine receptor activity)

IT 276871-23-9P 276871-24-0P 276871-25-1P 276871-26-2P 276871-27-3P
 276871-28-4P 276871-29-5P 276871-30-8P 276871-31-9P 276871-32-0P
 276871-33-1P 276871-34-2P 276871-35-3P 276871-36-4P 276871-37-5P
 276871-38-6P 276871-39-7P 276871-40-0P 276871-41-1P 276871-42-2P
 276871-43-3P 276871-44-4P 276871-45-5P 276871-46-6P 276871-47-7P
 276871-48-8P 276871-49-9P 276871-50-2P 276871-51-3P 276871-52-4P
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 276871-58-0P 276871-59-1P 276871-60-4P 276871-61-5P 276871-62-6P
 276871-63-7P 276871-64-8P 276871-65-9P 276871-66-0P 276871-67-1P
 276871-68-2P 276871-69-3P 276871-70-6P 276871-71-7P 276871-72-8P
 276871-73-9P 276871-74-0P 276871-75-1P 276871-76-2P 276871-77-3P
 276871-78-4P 276871-79-5P 276871-80-8P 276871-81-9P 276871-82-0P
 276871-83-1P 276871-84-2P 276871-85-3P 276871-86-4P 276871-87-5P
 276871-88-6P 276871-89-7P 276871-90-0P 276871-91-1P 276871-92-2P
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 276872-03-8P 276872-04-9P 276872-05-0P 276872-06-1P 276872-07-2P
 276872-08-3P 276872-09-4P 276872-10-7P 276872-12-9P 276872-14-1P

276872-16-3P	276872-18-5P	276872-20-9P	276872-22-1P	276872-24-3P
276872-25-4P	276872-27-6P	276872-28-7P	276872-29-8P	276872-30-1P
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276872-37-8P	276872-38-9P	276872-39-0P	276872-40-3P	276872-41-4P
276872-42-5P	276872-43-6P	276872-44-7P	276872-45-8P	276872-46-9P
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276872-67-4P	276872-68-5P	276872-69-6P	276872-70-9P	276872-73-2P
276872-74-3P	276872-75-4P	276872-76-5P	276872-77-6P	276872-78-7P
276872-79-8P	276872-80-1P			

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of heterocyclic piperidines as modulators of chemokine receptor activity)

IT 89-93-0, 2-Methylbenzylamine 100-52-7, Benzaldehyde, reactions
103-71-9, Phenyl isocyanate, reactions 556-52-5, 2-
(Hydroxymethyl)oxirane 1532-97-4, 4-Bromoisoquinoline 3462-95-1
4606-65-9, 3-Hydroxymethylpiperidine 16413-26-6, 3-Cyanophenyl
isocyanate 24850-33-7, Allyltributyltin 40499-83-0, 3-Pyrrolidinol
61995-20-8 67123-97-1 79099-07-3 92822-02-1, 4-(4-
Fluorophenylmethyl)piperidine 98977-36-7 116574-71-1,
N-(tert-Butoxycarbonyl)-3-piperidinemethanol 130250-54-3 218278-58-1
276873-03-1

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of heterocyclic piperidines as modulators of chemokine receptor activity)

IT 2930-05-4P 66967-18-8P 92822-03-2P 95656-88-5P 104668-15-7P
130312-02-6P 135065-69-9P 138350-83-1P 138350-86-4P 140695-91-6P
140695-92-7P 151250-90-7P 151838-62-9P 157634-00-9P 157634-02-1P
168264-90-2P 170838-92-3P 170842-80-5P 183951-17-9P 191092-05-4P
243858-66-4P 276872-81-2P 276872-82-3P 276872-83-4P 276872-84-5P
276872-85-6P 276872-86-7P 276872-87-8P 276872-88-9P 276872-89-0P
276872-90-3P 276872-91-4P 276872-92-5P 276872-93-6P 276872-94-7P
276872-95-8P 276872-96-9P 276872-97-0P 276872-98-1P 276872-99-2P
276873-00-8P 276873-01-9P 276873-02-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of heterocyclic piperidines as modulators of chemokine receptor activity)

RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

- (1) Hesselgesser, J; JOURNAL OF BIOLOGICAL CHEMISTRY 1998, V273(25), P15687 HCAPLUS
- (2) Kirchner; US 3133061 A 1964 HCAPLUS
- (3) Lovens, K; DE 2013179 A 1970 HCAPLUS
- (4) Merck & Co; WO 9825604 A 1998 HCAPLUS
- (5) Merck & Co; WO 9827815 A 1998 HCAPLUS
- (6) Merck & Co; WO 9831364 A 1998 HCAPLUS
- (7) Merck & Co; WO 9909984 A 1999 HCAPLUS
- (8) Weston; US 2684965 A 1954 HCAPLUS

=> b wpix;d all 14 tot

FILE 'WPIX' ENTERED AT 11:45:58 ON 21 OCT 2005

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FILE LAST UPDATED: 19 OCT 2005 <20051019/UP>
MOST RECENT DERWENT UPDATE: 200567 <200567/DW>
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 FOR DETAILS. <<<

'BIX BI,ABEX' IS DEFAULT SEARCH FIELD FOR 'WPIX' FILE

L4 ANSWER 1 OF 1 WPIX COPYRIGHT 2005 THE THOMSON CORP on STN
 AN 2000-442341 [38] WPIX
 DNC C2000-134503
 TI New N-substituted piperidine derivatives, useful in the treatment of e.g.
 antiinflammatory, dermatological and respiratory disorders, are cytokine
 receptor antagonists and agonists.
 DC B02 B03 B04 C02
 IN DELUCCA, G V; DUNCIA, J V; KO, S S; SANTELLA, J B; WACKER, D A
 PA (DUPO) DU PONT PHARM CO; (DELU-I) DELUCCA G V; (DUNC-I) DUNCIA J V;
 (KOSS-I) KO S S; (SANT-I) SANTELLA J B; (WACK-I) WACKER D A; (BRIM)
 BRISTOL-MYERS SQUIBB PHARMA CO
 CYC 48
 PI WO 2000035877 A1 20000622 (200038)* EN 214 C07D211-26
 RW: AT BE CH CY DE DK EA ES FI FR GB GR IE IT LU MC NL PT SE
 W: AL AU BR CA CN CZ EE HU IL IN JP KR LT LV MK MX NO NZ PL RO SG SI
 SK TR UA VN ZA
 AU 2000020568 A 20000703 (200046) C07D211-26
 EP 1140834 A1 20011010 (200167) EN C07D211-26
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 RO SE SI
 US 6331545 B1 20011218 (200205) A61K031-506 <--
 US 2002119980 A1 20020829 (200259) C07D417-02
 US 6759411 B2 20040706 (200444) A61K031-5377
 US 2004186097 A1 20040923 (200463) A61K031-55 <--
 ADT WO 2000035877 A1 WO 1999-US30314 19991217; AU 2000020568 A AU 2000-20568
 19991217; EP 1140834 A1 EP 1999-964293 19991217, WO 1999-US30314 19991217;
 US 6331545 B1 Provisional US 1998-112714P 19981218, US 1999-465949
 19991217; US 2002119980 A1 Provisional US 1998-112714P 19981218,
 Div ex US 1999-465949 19991217, US 2001-981833 20011018; US
 6759411 B2 Provisional US 1998-112714P 19981218, Div ex US
 1999-465949 19991217, US 2001-981833 20011018; US 2004186097 A1
 Provisional US 1998-112714P 19981218, Div ex US 1999-465949
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 FDT AU 2000020568 A Based on WO 2000035877; EP 1140834 A1 Based on WO
 2000035877; US 6759411 B2 Div ex US 6331545; US 2004186097 A1 Div ex US
 6331545
 PRAI US 1998-112714P 19981218; US 1999-465949
 19991217; US 2001-981833 20011018; US
 2004-809772 20040325
 IC ICM A61K031-506; A61K031-5377; A61K031-55; C07D211-26; C07D417-02
 ICS A61K031-40; A61K031-445; A61K031-4545; A61K031-47; A61K031-495;
 A61K031-496; A61K031-497; C07D401-02; C07D401-06; C07D403-02;
 C07D403-06; C07D409-14; C07D413-02
 AB WO 200035877 A UPAB: 20000811
 NOVELTY - Heterocyclic piperidines (I) are new.

DETAILED DESCRIPTION - Heterocyclic piperidines of formula (I) are new.

M = absent, CH₂, CHR₅, CHR₁₃, CR₁₃R₁₃ or CR₅R₁₃;
 Q = CH₂, CHR₅, CHR₁₃, CR₁₃R₁₃ or CR₅R₁₃;
 J, K', L = CH₂, CHR₅, CHR₆, CR₆R₆, CR₅R₆ or CR₅R₁₃;
 E = (CR₇R₈)(CR₉R₁₀)v;

v = 0 - 2;

Y' = substituted piperidinyl, pyrrolidinyl, morpholinyl, thiomorpholinyl, piperazinyl, quinolinyl or isoquinolinyl;

R₄ = absent, 1-8C alkyl, 2-8C alkenyl, 2-8C alkynyl, 3-6C cycloalkylalkyl, alkylcarbonylalkyl, aminocarbonylalkyl, alkoxyalkylalkyl or optionally substituted 3-10C cycloalkylalkyl; or NR₄ = N-oxide;

R₅ = optionally substituted 3-10C cycloalkylalkyl or optionally substituted heterocycloalkyl;

R₆ = 1-4C alkyl, 2-8C alkenyl, 2-8C alkynyl, 3-6C cycloalkylalkyl, perfluoroalkyl, CN, aminoalkyl, hydroxyalkyl, alkoxyalkyl, mercaptoalkyl, alkylthioalkyl, carboxyalkyl, alkylcarbonylalkyl, alkylamidoalkyl, alkylcarbonylaminoalkyl, alkoxyalkylalkyl, alkoxyalkylalkyl, alkylcarbonyloxyalkyl, alkyl-S(O)alkyl, alkylsulfonamidoalkyl, alkylsulfonaminoalkyl or optionally substituted phenylalkyl;

p = 1 - 3 (sic);

R₇ = H, 1-8C alkyl, 2-8C alkenyl, 2-8C alkynyl, hydroxyalkyl, mercaptoalkyl, alkoxyalkyl, alkylthioalkyl, alkylaminoalkyl, carboxyalkyl, alkylcarbonylalkyl, alkylamidoalkyl, alkylcarbonylaminoalkyl, alkoxyalkylalkyl, alkylcarbonyloxyalkyl, alkyl-S(O)alkyl, alkylsulfonamidoalkyl, alkylsulfonaminoalkyl, 1-6C haloalkyl, optionally substituted 3-10C cycloalkylalkyl or optionally substituted heterocycloalkyl;

R₈ = H, 1-6C alkyl, 3-6C cycloalkyl or optionally substituted phenylalkyl; or

R₇ + R₈ = 3-7C cycloalkyl or =NR₈b;

R₈b = 1-6C alkyl, 3-6C cycloalkyl, OH, CN or phenylalkyl;

R₉ = H, 1-8C alkyl, 2-8C alkenyl, 2-8C alkynyl, hydroxyalkyl, mercaptoalkyl, alkoxyalkyl, alkylthioalkyl, alkylaminoalkyl, carboxyalkyl, alkylcarbonylalkyl, alkylamidoalkyl, alkylcarbonylaminoalkyl, alkoxyalkylalkyl, alkylcarbonyloxyalkyl, alkyl-S(O)alkyl, alkylsulfonamidoalkyl, alkylsulfonaminoalkyl, 1-6C haloalkyl, optionally substituted 3-10C cycloalkylalkyl, optionally substituted heterocycloalkyl, F, Cl, Br, I, NO₂, CN or amidoalkyl;

R₁₀ = H, 1-8C alkyl, 2-8C alkenyl, 2-8C alkynyl, OH, hydroxyalkyl, alkoxyalkyl, alkylthioalkyl, alkylaminoalkyl, carboxyalkyl, alkylcarbonylalkyl, alkylamidoalkyl, alkylcarbonylaminoalkyl, alkoxyalkylalkyl, alkylcarbonyloxyalkyl, alkyl-S(O)alkyl, alkylsulfonamidoalkyl, alkylsulfonaminoalkyl, 1-6C haloalkyl, optionally substituted 3-10C cycloalkylalkyl, optionally substituted heterocycloalkyl, F, Cl, Br, I, NO₂, CN or amidoalkyl; or

R₉ + R₁₀ = 3-7C cycloalkyl; and

R₁₃ = 1-4C alkyl, 2-8C alkenyl, 2-8C alkynyl, 3-6C cycloalkyl, perfluoroalkyl, alkylaminoalkyl, hydroxyalkyl, alkoxyalkyl, mercaptoalkyl, alkylthioalkyl, carboxyalkyl, alkylcarbonylalkyl, alkylamidoalkyl, alkylcarbonylaminoalkyl, alkoxyalkylalkyl, alkylcarbonyloxyalkyl, alkyl-S(O)alkyl, alkylsulfonamidoalkyl, alkylsulfonaminoalkyl, 1-6C haloalkyl, optionally substituted phenylalkyl.

N.B.: Full definitions are given in the 'Definition: Full Definitions' section.

ACTIVITY - Antiasthmatic; antiallergic; antiinflammatory; dermatological; antihelminthic; ocular; immunosuppressive; anti-HIV; respiratory; cytostatic; gastrointestinal.

MECHANISM OF ACTION - CCR-3 (cytokine receptor) agonist or antagonist.

The inhibition of eosinophil migration (as an indication of CCR3 receptor modulation) can be demonstrated using known methods, e.g. Bacon et al., Brit. J. Pharmacol., 95, 966-974 (1988). (I) had IC₅₀ values of less than 10 mM.

USE - Used to treat asthma, allergic rhinitis, atopic dermatitis,

inflammatory bowel disease (IBD), idiopathic pulmonary fibrosis, bullous pemphigoid, helminthic parasitic infections, allergic colitis, eczema, conjunctivitis, transplantation, familial eosinophilia, eosinophilic cellulitis, eosophilic pneumonias, eosinophilic fasciitis, eosinophilic gastroenteritis, drug induced eosinophilia, HIV infection, cystic fibrosis, Churg-Strauss syndrome, lymphoma, Hodgkin's disease and colonic carcinoma, preferably asthma, allergic rhinitis, atopic dermatitis or IBD, especially asthma (claimed).

Dwg.0/0

FS CPI

FA AB; GI; DCN

MC CPI: B06-H; B07-D04; B07-D05; B14-A02B1; B14-B03; B14-C03; B14-E10C;
B14-G02; B14-H01; B14-K01; B14-K01A; B14-N03; B14-N17C; C06-H;
C07-D04; C07-D05; C14-A02B1; C14-B03; C14-C03; C14-E10C; C14-G02;
C14-H01; C14-K01; C14-K01A; C14-N03; C14-N17C

=> b home

FILE 'HOME' ENTERED AT 11:46:15 ON 21 OCT 2005

=>

=> d his full

(FILE 'HOME' ENTERED AT 11:42:34 ON 21 OCT 2005)

FILE 'HCAPLUS' ENTERED AT 11:42:41 ON 21 OCT 2005

L1 1 SEA ABB=ON PLU=ON (US2004186097 OR US6331545)/PN OR (US2004-8
09772# OR US99-465949#)/AP,PRN

FILE 'REGISTRY' ENTERED AT 11:44:55 ON 21 OCT 2005

L2 FILE 'HCAPLUS' ENTERED AT 11:44:55 ON 21 OCT 2005
TRA L1 1- RN : 211 TERMS

FILE 'REGISTRY' ENTERED AT 11:44:56 ON 21 OCT 2005

L3 211 SEA ABB=ON PLU=ON L2

FILE 'WPIX' ENTERED AT 11:45:02 ON 21 OCT 2005

L4 1 SEA ABB=ON PLU=ON (US2004186097 OR US6331545)/PN OR (US2004-8
09772# OR US99-465949#)/AP,PRN

FILE 'REGISTRY' ENTERED AT 12:09:15 ON 21 OCT 2005

L5 STR
L6 7 SEA SSS SAM L5
L7 SCR 1607 AND 1034 AND 1839
L8 16 SEA SSS SAM L5 AND L7
L9 2283213 SEA ABB=ON PLU=ON (NC5 OR NC4)/ES AND NC5/ES
L10 7 SEA SUB=L9 SSS SAM L5 AND L7
L11 2943 SEA SUB=L9 SSS FUL L5 AND L7
SAV TEM HABTE772F0/A L11
L12 STR L5
L13 28 SEA SUB=L11 SSS SAM L12
L14 474 SEA SUB=L11 SSS FUL L12
SAV TEM L14 HAB772S0/A

FILE 'HCAPLUS' ENTERED AT 12:44:03 ON 21 OCT 2005

L15 57 SEA ABB=ON PLU=ON L14

FILE 'HCAOLD' ENTERED AT 12:44:17 ON 21 OCT 2005

L16 0 SEA ABB=ON PLU=ON L14

FILE 'HCAPLUS' ENTERED AT 13:28:05 ON 21 OCT 2005

E KO S/AU
L17 55 SEA ABB=ON PLU=ON ("KO S"/AU OR "KO S S"/AU)
E KO SOO/AU
L18 48 SEA ABB=ON PLU=ON ("KO SOO"/AU OR "KO SOO S"/AU)
E DELUCCA G/AU
L19 32 SEA ABB=ON PLU=ON ("DELUCCA G"/AU OR "DELUCCA GEORGE"/AU OR
"DELUCCA GEORGE V"/AU OR "DELUCCA GEORGE VINCENT"/AU)
E DE LUCCA G/AU
L20 32 SEA ABB=ON PLU=ON ("DE LUCCA G"/AU OR "DE LUCCA G V"/AU OR
"DE LUCCA GEORGE"/AU OR "DE LUCCA GEORGE V"/AU OR "DE LUCCA
GEORGE VINCENT"/AU)
E DUNCIA J/AU
L21 94 SEA ABB=ON PLU=ON ("DUNCIA J"/AU OR "DUNCIA J V"/AU OR
"DUNCIA JOHN J"/AU OR "DUNCIA JOHN J V"/AU OR "DUNCIA JOHN
JONAS V"/AU OR "DUNCIA JOHN JONAS VYTAUTAS"/AU OR "DUNCIA JOHN
V"/AU OR "DUNCIA JOHN V K"/AU)
E SANTELLA J/AU
L22 31 SEA ABB=ON PLU=ON ("SANTELLA J B"/AU OR "SANTELLA JOSEPH
B"/AU OR "SANTELLA JOSEPH B III"/AU OR "SANTELLA JOSEPH BASIL
III"/AU)
E WACKER D/AU
L23 38 SEA ABB=ON PLU=ON ("WACKER D"/AU OR "WACKER DEAN A"/AU OR
"WACKER DEAN A K"/AU OR "WACKER DEAN ALAN"/AU)

FILE 'REGISTRY' ENTERED AT 13:30:15 ON 21 OCT 2005

L24 84 SEA ABB=ON PLU=ON L14 AND L3

FILE 'HCAPLUS' ENTERED AT 13:30:56 ON 21 OCT 2005

L25 57395 SEA ABB=ON PLU=ON (DUPONT OR DU(W) PONT)/CS, PA

L26 12567 SEA ABB=ON PLU=ON (BMS OR (BRISTOL AND (MYERS OR MEYER#) OR
 SQUIBB?))/CS, PA

L27 5 SEA ABB=ON PLU=ON L15 AND (L17 OR L18 OR L19 OR L20 OR L21
 OR L22 OR L23 OR L25 OR L26)

L28 52 SEA ABB=ON PLU=ON L15 NOT L27

L29 23 SEA ABB=ON PLU=ON L28 AND (PY<=1998 OR AY<=1998 OR PRY<=1998)

FILE 'REGISTRY' ENTERED AT 13:40:26 ON 21 OCT 2005

L30 85 SEA ABB=ON PLU=ON (184968-90-9/BI OR 178372-38-8/BI OR
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 178373-43-8/BI OR 178373-44-9/BI OR 226916-90-1/BI OR 278786-29
 -1/BI OR 278786-30-4/BI OR 278786-31-5/BI OR 278786-32-6/BI OR
 278786-33-7/BI OR 146366-43-0/BI OR 146366-44-1/BI OR 146366-45
 -2/BI OR 146366-46-3/BI OR 146366-47-4/BI OR 146366-49-6/BI OR
 146366-50-9/BI OR 146366-54-3/BI OR 146366-55-4/BI OR 146366-57
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 146366-67-8/BI OR 146366-68-9/BI OR 146366-69-0/BI OR 146366-70
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 146366-82-7/BI OR 146366-83-8/BI OR 146395-73-5/BI OR 146395-74
 -6/BI OR 146395-75-7/BI OR 146395-76-8/BI OR 146396-13-6/BI OR
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 -3/BI OR 200185-14-4/BI OR 200185-35-9/BI OR 200185-36-0/BI OR
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 -6/BI OR 36495-05-3/BI OR 52234-12-5/BI)

FILE 'HCAPLUS' ENTERED AT 13:46:50 ON 21 OCT 2005

L31 2 SEA ABB=ON PLU=ON L24

FILE 'REGISTRY' ENTERED AT 13:59:53 ON 21 OCT 2005

L32 3 SEA ABB=ON PLU=ON (C35H42CL2N2O3 OR C33H36CLN5O4 OR C33H44CLN
 5O5) AND L30

FILE 'HCAPLUS' ENTERED AT 14:01:43 ON 21 OCT 2005

L33 2 SEA ABB=ON PLU=ON L32 AND L29

L34 29 SEA ABB=ON PLU=ON L28 NOT L29
 SEL HIT RN L34

FILE 'REGISTRY' ENTERED AT 14:02:54 ON 21 OCT 2005

L35 83 SEA ABB=ON PLU=ON (254115-77-0/BI OR 254115-78-1/BI OR
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 -8/BI OR 340962-91-6/BI OR 356783-69-2/BI OR 401504-69-6/BI OR
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L36 11 SEA ABB=ON PLU=ON L35 AND (C23H36BRN3O2 OR C22H33BRN2O3 OR C24H37BRN2O2 OR C22H30BRF3N2O2 OR C26H31FN2O3 OR C24H37BRN2O3 OR C25H40B2N3O2 OR C25H39BRN2O2)

L37 2 SEA ABB=ON PLU=ON L35 AND C25H40BRN3O2

L38 13 SEA ABB=ON PLU=ON (L36 OR L37)

FILE 'HCAPLUS' ENTERED AT 14:17:07 ON 21 OCT 2005

L39 4 SEA ABB=ON PLU=ON (L33 OR L38)

=> b reg

FILE 'REGISTRY' ENTERED AT 14:19:07 ON 21 OCT 2005

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STRUCTURE FILE UPDATES: 19 OCT 2005 HIGHEST RN 865652-03-5

DICTIONARY FILE UPDATES: 19 OCT 2005 HIGHEST RN 865652-03-5

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* The CA roles and document type information have been removed from *

* the IDE default display format and the ED field has been added, *

* effective March 20, 2005. A new display format, IDERL, is now *

* available and contains the CA role and document type information. *

* *****

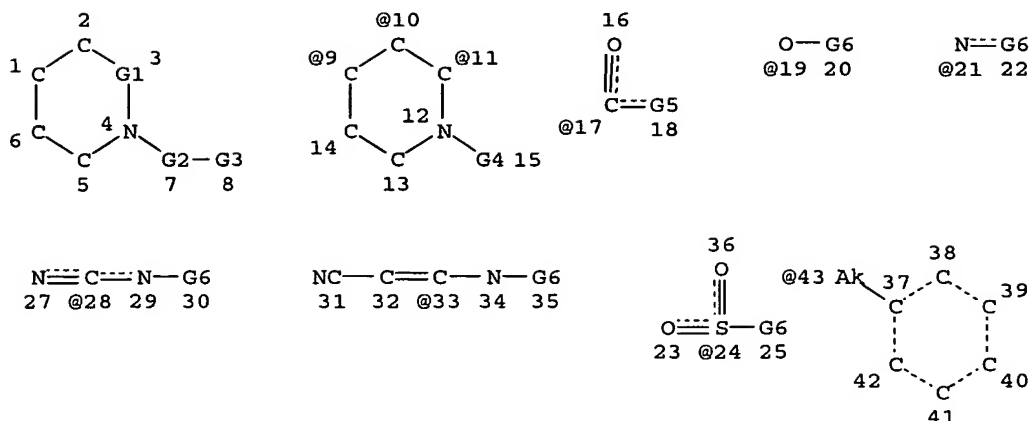
Structure search iteration limits have been increased. See HELP SLIMITS for details.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UG/regprops.html>

=> d que sta l14

L5 STR



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REP G1=(0-1) C
REP G2=(1-3) C
VAR G3=9/10/11
VAR G4=17/CHO
VAR G5=AK/CY/19/21/24/28/33/43
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NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

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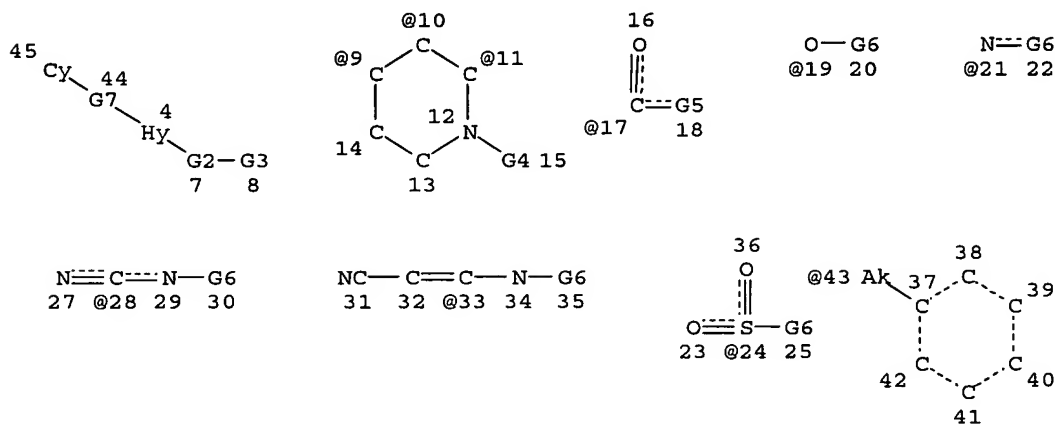
GRAPH ATTRIBUTES:
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NUMBER OF NODES IS 42

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STEREO ATTRIBUTES: NONE
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L9          2283213 SEA FILE=REGISTRY ABB=ON PLU=ON (NC5 OR NC4)/ES AND NC5/ES
L11         2943 SEA FILE=REGISTRY SUB=L9 SSS FUL L5 AND L7
L12         STR

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REP G2=(1-3) C
VAR G3=9/10/11
VAR G4=17/CHO
VAR G5=AK/CY/19/21/24/28/33/43
VAR G6=AK/CY
REP G7=(1-2) C
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM

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GGCAT IS MCY SAT AT 4
 DEFAULT ECLEVEL IS LIMITED
 ECOUNT IS M4-X5 C E1 N AT 4

GRAPH ATTRIBUTES:
 RING(S) ARE ISOLATED OR EMBEDDED
 NUMBER OF NODES IS 39

STEREO ATTRIBUTES: NONE
 L14 474 SEA FILE=REGISTRY SUB=L11 SSS FUL L12

100.0% PROCESSED 2943 ITERATIONS 474 ANSWERS
 SEARCH TIME: 00.00.01

=> b hcap
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FILE COVERS 1907 - 21 Oct 2005 VOL 143 ISS 18
 FILE LAST UPDATED: 20 Oct 2005 (20051020/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d all fhitr 127 tot

L27 ANSWER 1 OF 5 HCAPLUS COPYRIGHT 2005 ACS on STN
 AN 2002:594840 HCAPLUS
 DN 137:154858
 ED Entered STN: 09 Aug 2002
 TI Preparation of arylsulfonamidopiperidones as inhibitors of Factor Xa.
 IN Stein, Philip P.; O'Connor, Stephen P.; Lawrence, R. Michael; Shi, Yan
 PA Bristol-Myers Squibb Company, USA
 SO PCT Int. Appl., 246 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 IC ICM C07D401-06
 ICS C07D409-14; C07D413-14; C07D417-14; C07D401-14; C07D211-56;
 C07D409-12; C07D471-08; C07D405-12; C07D491-08; A61K031-4545;
 A61P007-02
 CC 27-16 (Heterocyclic Compounds (One Hetero Atom))
 Section cross-reference(s): 1, 28
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002060894	A2	20020808	WO 2002-US2542	20020128
WO 2002060894	A3	20021219		

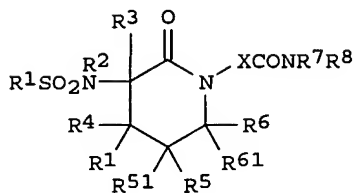
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 GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
 LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
 PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
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 TJ, TM
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
 CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
 BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
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 EP 1358178 A2 20031105 EP 2002-717381 20020128
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 US 6555542 B1 20030429 US 2002-59621 20020129
 PRAI US 2001-264964P P 20010130
 WO 2002-US2542 W 20020128

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 2002060894	ICM ICS	C07D401-06 C07D409-14; C07D413-14; C07D417-14; C07D401-14; C07D211-56; C07D409-12; C07D471-08; C07D405-12; C07D491-08; A61K031-4545; A61P007-02
WO 2002060894	ECLA	C07D211/56; C07D401/06+211+207; C07D401/14+211+209C+207; C07D401/14+231+211+207; C07D401/14+233+211+207; C07D401/14+235C+211+207; C07D405/12+317+211; C07D409/12+333+211; C07D409/14+333+211+207; C07D409/14+333B+211+207; C07D409/14+333+211+209C; C07D409/14+333+235C+211; C07D409/14+333B+257+211; C07D409/14+333+249B+211; C07D409/14R+333+213+211; C07D409/14R+333+213+211+207; C07D409/14R+333B+239B+211+207; C07D409/14R+333+211+207; C07D413/14+261+211+207; C07D413/14+271+211+207; C07D413/14R+333B+261+211+207; C07D417/14+285B+211+207; C07D417/14+333+277B+211; C07D417/14R+277+211+207; C07D471/08+209B+209B; C07D471/08+209C+209C; C07D471/08+209C+209C+209B; C07D491/08+307B+209B
JP 2004518688	FTERM	4C050/AA03; 4C050/BB04; 4C050/CC04; 4C050/EE02; 4C050/FF01; 4C050/GG01; 4C050/HH04; 4C054/AA02; 4C054/BB01; 4C054/CC09; 4C054/DD23; 4C054/EE28; 4C054/FF01; 4C063/AA01; 4C063/AA03; 4C063/AA05; 4C063/BB01; 4C063/BB04; 4C063/BB07; 4C063/BB09; 4C063/CC10; 4C063/CC12; 4C063/CC14; 4C063/CC15; 4C063/CC22; 4C063/CC23; 4C063/CC26; 4C063/CC51; 4C063/CC58; 4C063/CC62; 4C063/CC67; 4C063/CC75; 4C063/CC81; 4C063/CC92; 4C063/CC94; 4C063/DD03; 4C063/DD06; 4C063/DD10; 4C063/EE01; 4C065/AA04; 4C065/AA09; 4C065/BB09; 4C065/CC01; 4C065/CC05; 4C065/DD02; 4C065/EE02; 4C065/EE03; 4C065/HH01; 4C065/HH02; 4C065/HH09; 4C065/JJ01; 4C065/JJ04; 4C065/KK01; 4C065/KK02; 4C065/KK09; 4C065/LL01; 4C065/LL06; 4C065/PP06; 4C065/PP10; 4C065/PP13; 4C071/AA01; 4C071/BB01; 4C071/CC01; 4C071/CC21; 4C071/DD12; 4C071/EE12; 4C071/FF06; 4C071/GG01; 4C071/HH01; 4C071/HH11; 4C071/JJ05; 4C071/JJ08; 4C071/LL01; 4C072/AA01; 4C072/BB02; 4C072/CC02; 4C072/CC16; 4C072/DD05; 4C072/DD06; 4C072/EE13; 4C072/FF05; 4C072/FF09; 4C072/GG06; 4C072/GG07; 4C072/GG09; 4C072/HH07; 4C072/UU01; 4C084/AA02; 4C084/AA17; 4C084/DC02; 4C084/DC05; 4C084/DC21; 4C084/NA05; 4C084/ZA362; 4C084/ZA392; 4C084/ZA542; 4C084/ZC202; 4C084/ZC422; 4C086/AA01; 4C086/AA02; 4C086/AA03; 4C086/BA19; 4C086/BC21; 4C086/BC28; 4C086/BC30; 4C086/BC31; 4C086/BC36; 4C086/BC38; 4C086/BC39; 4C086/BC42; 4C086/BC46; 4C086/BC50;

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 4C086/ZA68; 4C086/ZA75; 4C086/ZA89; 4C086/ZA94;
 4C086/ZA96; 4C086/ZB11; 4C086/ZB13; 4C086/ZB15;
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 4C086/ZC35
 US 6555542 NCL 514/253.090; 514/183.000; 514/345.000; 514/349.000;
 514/352.000; 514/357.000; 514/408.000; 514/423.000;
 514/438.000; 514/444.000; 514/445.000; 540/485.000;
 540/596.000; 540/605.000; 540/609.000; 546/188.000;
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 549/062.000; 549/074.000; 549/078.000
 ECLA C07D211/56; C07D401/06+211+207; C07D401/14+211+209C+207;
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 C07D401/14+231+211+207; C07D405/12+317+211;
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 C07D409/14R+333B+239B+211+207;
 C07D409/14R+333+213+211+207; C07D409/14R+333+213+211;
 C07D413/14+261+211+207; C07D413/14+271+211+207;
 C07D413/14R+333B+261+211+207; C07D417/14+285B+211+207;
 C07D417/14+333+277B+211; C07D417/14R+277+211+207;
 C07D471/08+209C+209C+209B; C07D471/08+209C+209C;
 C07D471/08+209B+209B; C07D491/08+307B+209B
 OS MARPAT 137:154858
 GI



AB Title compds. [I; X = (substituted) (CH₂)_m; m = 1-3; R₁ = (substituted) alkyl, alkenyl, alkynyl, aryl, heteroaryl, etc.; R₂, R₃ = H, (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, aryl, heteroaryl, etc.; R₄, R₄₁, R₅, R₅₁ = H, OH, (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, aryl, heteroaryl, alkoxy, etc.; R₆, R₆₁ = H, (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, aryl, heteroaryl, etc.; R₇, R₈ = (substituted) (CH₂)_nH; n = 1-4; R₇R₈N = (substituted) cycloheteroalkyl], were prepared as cardiovascular agents (no data). 974 I, including (II), were prepared
 ST factor xa inhibitor arylsulfonamidopiperidone prepn; piperidone arylsulfonamido prepn factor xa inhibitor; lactam sulfonamide prepn factor xa inhibitor; antithrombotic arylsulfonamidopiperidone prepn
 IT Fibrinogens
 RL: BSU (Biological study, unclassified); BIOL (Biological study) (antagonists, combination therapy; preparation of arylsulfonamidopiperidones as inhibitors of Factor Xa)

IT Brain, disease
(cerebrovascular, treatment; preparation of arylsulfonamidopiperidones as inhibitors of Factor Xa)

IT Antihypertensives
Hypolipemic agents
Platelet aggregation inhibitors
Thromboxane receptor antagonists
(combination therapy; preparation of arylsulfonamidopiperidones as inhibitors of Factor Xa)

IT Artery, disease
(coronary, treatment; preparation of arylsulfonamidopiperidones as inhibitors of Factor Xa)

IT Anticoagulants
Cardiovascular agents
Human
(preparation of arylsulfonamidopiperidones as inhibitors of Factor Xa)

IT 5-HT receptors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(serotonin-2 receptor antagonists, combination therapy; preparation of arylsulfonamidopiperidones as inhibitors of Factor Xa)

IT Thrombosis
(treatment; preparation of arylsulfonamidopiperidones as inhibitors of Factor Xa)

IT 105913-11-9, Plasminogen activator
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(animal salivary gland, combination therapy; preparation of arylsulfonamidopiperidones as inhibitors of Factor Xa)

IT 50-78-2, Aspirin 58-32-2, Dipyridamole 81-81-2, Warfarin 9002-01-1, Streptokinase 9003-53-6, Aspac 9039-53-6, Urokinase 32828-81-2, Picotamide 55142-85-3, Ticlopidine 73963-72-1, Cilostazol 74050-98-9, Ketanserin 82657-92-9, Prourokinase 105857-23-6, Activase 113665-84-2, Clopidogrel 143443-90-7, Ifetroban 152815-51-5, t-686 156867-02-6, Xr-330 171870-23-8, Lanoteplase
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(combination therapy; preparation of arylsulfonamidopiperidones as inhibitors of Factor Xa)

IT 9002-04-4, Thrombin 9025-82-5, Phosphodiesterase 61276-89-9, Thromboxane synthase
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(inhibitors, combination therapy; preparation of arylsulfonamidopiperidones as inhibitors of Factor Xa)

IT 9002-05-5, Factor xa
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(inhibitors; preparation of arylsulfonamidopiperidones as inhibitors of Factor Xa)

IT 445274-33-9P 445274-70-4P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of arylsulfonamidopiperidones as inhibitors of Factor Xa)

IT 445270-59-7P 445270-60-0P 445270-61-1P 445270-62-2P 445270-63-3P
445270-64-4P 445270-65-5P 445270-66-6P 445270-67-7P 445270-68-8P
445270-69-9P 445270-70-2P 445270-71-3P 445270-72-4P 445270-73-5P
445270-74-6P 445270-75-7P 445270-76-8P 445270-77-9P 445270-78-0P
445270-79-1P 445270-80-4P 445270-81-5P 445270-82-6P 445270-83-7P
445270-84-8P 445270-85-9P 445270-86-0P 445270-87-1P 445270-89-3P
445270-91-7P 445270-92-8P 445270-93-9P 445270-94-0P 445270-95-1P
445270-96-2P 445270-97-3P 445270-98-4P 445270-99-5P 445271-00-1P
445271-01-2P 445271-02-3P 445271-03-4P 445271-04-5P 445271-05-6P
445271-06-7P 445271-07-8P 445271-08-9P 445271-09-0P 445271-10-3P
445271-11-4P 445271-12-5P 445271-13-6P 445271-14-7P 445271-15-8P
445271-16-9P 445271-17-0P 445271-18-1P 445271-19-2P 445271-20-5P
445271-21-6P 445271-22-7P 445271-23-8P 445271-24-9P 445271-25-0P
445271-26-1P 445271-27-2P 445271-28-3P 445271-29-4P 445271-30-7P
445271-31-8P 445271-32-9P 445271-33-0P 445271-34-1P 445271-35-2P
445271-36-3P 445271-37-4P 445271-38-5P 445271-39-6P 445271-40-9P

445271-41-0P	445271-42-1P	445271-43-2P	445271-44-3P	445271-45-4P
445271-46-5P	445271-47-6P	445271-48-7P	445271-49-8P	445271-50-1P
445271-51-2P	445271-52-3P	445271-53-4P	445271-54-5P	445271-55-6P
445271-56-7P	445271-57-8P	445271-58-9P	445271-59-0P	445271-60-3P
445271-61-4P	445271-62-5P	445271-63-6P	445271-64-7P	445271-65-8P
445271-66-9P	445271-67-0P	445271-68-1P	445271-69-2P	445271-70-5P
445271-71-6P	445271-72-7P	445271-73-8P	445271-74-9P	445271-75-0P
445271-76-1P	445271-77-2P	445271-78-3P	445271-79-4P	445271-80-7P
445271-81-8P	445271-82-9P	445271-83-0P	445271-84-1P	445271-85-2P
445271-86-3P	445271-87-4P	445271-88-5P	445271-89-6P	445271-91-0P
445271-92-1P	445271-93-2P	445271-94-3P	445271-95-4P	445271-96-5P
445271-97-6P	445271-98-7P	445271-99-8P	445272-00-4P	445272-01-5P
445272-02-6P	445272-03-7P	445272-04-8P	445272-05-9P	445272-06-0P
445272-07-1P	445272-08-2P	445272-09-3P	445272-10-6P	445272-11-7P
445272-12-8P	445272-13-9P	445272-14-0P	445272-15-1P	445272-16-2P
445272-17-3P	445272-18-4P	445272-19-5P	445272-20-8P	445272-21-9P
445272-22-0P	445272-23-1P	445272-24-2P	445272-25-3P	445272-26-4P
445272-27-5P	445272-28-6P	445272-29-7P	445272-30-0P	445272-31-1P
445272-32-2P	445272-33-3P	445272-34-4P	445272-35-5P	445272-36-6P
445272-37-7P	445272-38-8P	445272-39-9P	445272-40-2P	445272-41-3P
445272-42-4P	445272-43-5P	445272-44-6P	445272-45-7P	445272-46-8P
445272-47-9P	445272-48-0P	445272-49-1P	445272-50-4P	445272-51-5P
445272-52-6P	445272-53-7P	445272-54-8P	445272-55-9P	445272-56-0P
445272-57-1P	445272-58-2P	445272-59-3P	445272-60-6P	445272-61-7P
445272-62-8P	445272-63-9P	445272-64-0P	445272-65-1P	445272-66-2P
445272-67-3P	445272-68-4P	445272-69-5P	445272-70-8P	445272-71-9P
445272-72-0P	445272-73-1P	445272-75-3P	445272-77-5P	445272-78-6P
445272-79-7P	445272-80-0P	445272-81-1P	445272-82-2P	445272-83-3P
445272-84-4P	445272-85-5P	445272-86-6P	445272-87-7P	445272-88-8P
445272-89-9P	445272-90-2P	445272-91-3P	445272-92-4P	445272-93-5P
445272-94-6P	445272-95-7P	445272-96-8P	445272-97-9P	

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(preparation of arylsulfonamidopiperidones as inhibitors of Factor Xa)

IT	445272-98-0P	445272-99-1P	445273-00-7P	445273-01-8P	445273-02-9P
	445273-03-0P	445273-04-1P	445273-05-2P	445273-06-3P	445273-07-4P
	445273-08-5P	445273-09-6P	445273-10-9P	445273-11-0P	445273-12-1P
	445273-13-2P	445273-14-3P	445273-16-5P	445273-18-7P	445273-19-8P
	445273-21-2P	445273-23-4P	445273-25-6P	445273-26-7P	445273-27-8P
	445273-28-9P	445273-29-0P	445273-30-3P	445273-31-4P	445273-32-5P
	445273-33-6P	445273-34-7P	445273-35-8P	445273-36-9P	445273-37-0P
	445273-38-1P	445273-39-2P	445273-40-5P	445273-41-6P	445273-42-7P
	445273-43-8P	445273-44-9P	445273-45-0P	445273-46-1P	445273-47-2P
	445273-48-3P	445273-49-4P	445273-50-7P	445273-51-8P	445273-52-9P
	445273-53-0P	445273-54-1P	445273-55-2P	445273-56-3P	445273-57-4P
	445273-58-5P	445273-59-6P	445273-60-9P	445273-61-0P	445273-62-1P
	445273-63-2P	445273-64-3P	445273-65-4P	445273-66-5P	445273-67-6P
	445273-68-7P	445273-69-8P	445273-70-1P	445273-71-2P	445273-72-3P
	445273-73-4P	445273-74-5P	445273-75-6P	445273-76-7P	445273-77-8P
	445273-78-9P	445273-80-3P	445273-82-5P	445273-84-7P	445273-86-9P
	445273-88-1P	445273-90-5P	445273-92-7P	445273-95-0P	445273-97-2P
	445273-99-4P	445274-01-1P	445274-03-3P	445274-05-5P	445274-07-7P
	445274-08-8P	445274-09-9P	445274-10-2P	445274-11-3P	445274-12-4P
	445274-13-5P	445274-14-6P	445274-15-7P	445274-16-8P	445274-17-9P
	445274-18-0P	445274-19-1P	445274-20-4P	445274-21-5P	445274-22-6P
	445274-23-7P	445274-24-8P	445274-25-9P	445274-26-0P	445274-27-1P
	445274-28-2P	445274-29-3P	445274-30-6P	445274-31-7P	445274-32-8P
	445274-34-0P	445274-35-1P	445274-36-2P	445274-37-3P	445274-38-4P
	445274-39-5P	445274-40-8P	445274-41-9P	445274-42-0P	445274-43-1P
	445274-44-2P	445274-45-3P	445274-46-4P	445274-47-5P	445274-48-6P
	445274-49-7P	445274-50-0P	445274-51-1P	445274-52-2P	445274-53-3P
	445274-54-4P	445274-55-5P	445274-56-6P	445274-57-7P	445274-58-8P
	445274-59-9P	445274-60-2P	445274-61-3P	445274-62-4P	445274-63-5P
	445274-64-6P	445274-65-7P	445274-66-8P	445274-67-9P	445274-68-0P
	445274-69-1P	445274-71-5P	445274-72-6P	445274-73-7P	445274-74-8P

445274-75-9P	445274-76-0P	445274-77-1P	445274-78-2P	445274-79-3P
445274-80-6P	445274-81-7P	445274-82-8P	445274-83-9P	445274-84-0P
445274-85-1P	445274-86-2P	445274-87-3P	445274-88-4P	445274-89-5P
445274-90-8P	445274-91-9P	445274-92-0P	445274-93-1P	445274-94-2P
445274-95-3P	445274-96-4P	445274-97-5P	445274-98-6P	445274-99-7P
445275-00-3P	445275-01-4P	445275-02-5P	445275-03-6P	445275-04-7P
445275-05-8P	445275-06-9P	445275-07-0P	445275-08-1P	445275-09-2P
445275-10-5P	445275-11-6P	445275-12-7P	445275-13-8P	445275-14-9P
445275-15-0P	445275-16-1P	445275-17-2P	445275-18-3P	445275-19-4P
445275-20-7P	445275-21-8P	445275-22-9P	445275-23-0P	445275-24-1P
445275-25-2P	445275-26-3P	445275-27-4P	445275-28-5P	445275-29-6P
445275-30-9P	445275-31-0P	445275-32-1P	445275-33-2P	445275-34-3P
445275-35-4P	445275-36-5P	445275-37-6P	445275-38-7P	445275-39-8P
445275-40-1P	445275-41-2P	445275-42-3P	445275-43-4P	445275-44-5P
445275-45-6P	445275-46-7P	445275-47-8P	445275-48-9P	445275-49-0P
445275-50-3P	445275-51-4P	445275-52-5P	445275-53-6P	

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(preparation of arylsulfonamidopiperidones as inhibitors of Factor Xa)

IT	445275-54-7P	445275-55-8P	445275-56-9P	445275-57-0P	445275-58-1P
	445275-59-2P	445275-60-5P	445275-61-6P	445275-62-7P	445275-63-8P
	445275-64-9P	445275-65-0P	445275-66-1P	445275-67-2P	445275-68-3P
	445275-69-4P	445275-70-7P	445275-71-8P	445275-72-9P	445275-73-0P
	445275-74-1P	445275-75-2P	445275-76-3P	445275-77-4P	445275-78-5P
	445275-79-6P	445275-80-9P	445275-81-0P	445275-82-1P	445275-83-2P
	445275-84-3P	445275-85-4P	445275-86-5P	445275-87-6P	445275-88-7P
	445275-89-8P	445275-90-1P	445275-91-2P	445275-92-3P	445275-93-4P
	445275-94-5P	445275-95-6P	445275-96-7P	445275-97-8P	445275-98-9P
	445275-99-0P	445276-00-6P	445276-01-7P	445276-02-8P	445276-03-9P
	445276-04-0P	445276-05-1P	445276-06-2P	445276-07-3P	445276-08-4P
	445276-09-5P	445276-11-9P	445276-12-0P	445276-13-1P	445276-14-2P
	445276-15-3P	445276-16-4P	445276-17-5P	445276-18-6P	445276-19-7P
	445276-20-0P	445276-21-1P	445276-22-2P	445276-23-3P	445276-24-4P
	445276-25-5P	445276-26-6P	445276-28-8P	445276-30-2P	445276-32-4P
	445276-34-6P	445276-35-7P	445276-37-9P	445276-38-0P	445276-40-4P
	445276-41-5P	445276-42-6P	445276-43-7P	445276-44-8P	445276-45-9P
	445276-46-0P	445276-47-1P	445276-48-2P	445276-49-3P	445276-50-6P
	445276-51-7P	445276-52-8P	445276-53-9P	445276-54-0P	445276-55-1P
	445276-56-2P	445276-57-3P	445276-58-4P	445276-59-5P	445276-60-8P
	445276-61-9P	445276-62-0P	445276-63-1P	445276-64-2P	445276-65-3P
	445276-66-4P	445276-67-5P	445276-68-6P	445276-69-7P	445276-70-0P
	445276-71-1P	445276-72-2P	445276-73-3P	445276-74-4P	445276-75-5P
	445276-76-6P	445276-77-7P	445276-78-8P	445276-79-9P	445276-80-2P
	445276-81-3P	445276-82-4P	445276-83-5P	445276-84-6P	445276-85-7P
	445276-86-8P	445276-87-9P	445276-88-0P	445276-89-1P	445276-90-4P
	445276-91-5P	445276-92-6P	445276-93-7P	445276-94-8P	445276-95-9P
	445276-96-0P	445276-97-1P	445276-98-2P	445276-99-3P	445277-00-9P
	445277-01-0P	445277-02-1P	445277-03-2P	445277-04-3P	445277-05-4P
	445277-06-5P	445277-07-6P	445277-08-7P	445277-09-8P	445277-10-1P
	445277-11-2P	445277-12-3P	445277-13-4P	445277-14-5P	445277-15-6P
	445277-16-7P	445277-17-8P	445277-18-9P	445277-19-0P	445277-20-3P
	445277-21-4P	445277-22-5P	445277-23-6P	445277-24-7P	445277-25-8P
	445277-26-9P	445277-27-0P	445277-28-1P	445277-29-2P	445277-30-5P
	445277-31-6P	445277-32-7P	445277-33-8P	445277-34-9P	445277-35-0P
	445277-36-1P	445277-37-2P	445277-38-3P	445277-39-4P	445277-40-7P
	445277-41-8P	445277-42-9P	445277-43-0P	445277-44-1P	445277-45-2P
	445277-46-3P	445277-47-4P	445277-48-5P	445277-49-6P	445277-50-9P
	445277-51-0P	445277-52-1P	445277-53-2P	445277-54-3P	445277-55-4P
	445277-56-5P	445277-57-6P	445277-58-7P	445277-59-8P	445277-60-1P
	445277-61-2P	445277-62-3P	445277-63-4P	445277-64-5P	445277-65-6P
	445277-66-7P	445277-67-8P	445277-68-9P	445277-69-0P	445277-70-3P
	445277-71-4P	445277-72-5P	445277-73-6P	445277-74-7P	445277-75-8P
	445277-76-9P	445277-77-0P	445277-78-1P	445277-79-2P	445277-80-5P
	445277-81-6P	445277-82-7P	445277-83-8P	445277-84-9P	445277-85-0P
	445277-86-1P	445277-87-2P	445277-88-3P	445277-89-4P	445277-90-7P

445277-91-8P 445277-92-9P 445277-93-0P 445277-94-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(preparation of arylsulfonamidopiperidones as inhibitors of Factor Xa)

IT 445277-95-2P 445277-96-3P 445277-97-4P 445277-98-5P 445277-99-6P
445278-00-2P 445278-01-3P 445278-02-4P 445278-04-6P 445278-05-7P
445278-06-8P 445278-07-9P 445278-08-0P 445278-09-1P 445278-10-4P
445278-12-6P 445278-13-7P 445278-14-8P 445278-15-9P 445278-16-0P
445278-17-1P 445278-18-2P 445278-19-3P 445278-20-6P 445278-21-7P
445278-22-8P 445278-23-9P 445278-24-0P 445278-25-1P 445278-26-2P
445278-27-3P 445278-28-4P 445278-29-5P 445278-30-8P 445278-31-9P
445278-32-0P 445278-33-1P 445278-34-2P 445278-35-3P 445278-36-4P
445278-37-5P 445278-38-6P 445278-39-7P 445278-40-0P 445278-41-1P
445278-42-2P 445278-43-3P 445278-44-4P 445278-45-5P 445278-46-6P
445278-47-7P 445278-48-8P 445278-49-9P 445278-50-2P 445278-51-3P
445278-52-4P 445278-53-5P 445278-54-6P 445278-55-7P 445278-56-8P
445278-57-9P 445278-58-0P 445278-59-1P 445278-60-4P 445278-61-5P
445278-62-6P 445278-63-7P 445278-64-8P 445278-65-9P 445278-66-0P
445278-67-1P 445278-68-2P 445278-69-3P 445278-70-6P 445278-71-7P
445278-72-8P 445278-73-9P 445278-74-0P 445278-75-1P 445278-76-2P
445278-77-3P 445278-78-4P 445278-79-5P 445278-80-8P 445278-81-9P
445278-82-0P 445278-83-1P 445278-84-2P 445278-85-3P 445278-86-4P
445278-88-6P 445278-90-0P 445278-92-2P 445278-93-3P 445278-94-4P
445278-95-5P 445278-96-6P 445278-97-7P 445278-98-8P 445278-99-9P
445279-00-5P 445279-01-6P 445279-02-7P 445279-03-8P 445279-04-9P
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(preparation of arylsulfonamidopiperidones as inhibitors of Factor Xa)

IT 445280-35-3P 445280-36-4P 445282-90-6P 445282-91-7P 445487-17-2P
445487-18-3P 445487-19-4P 445487-20-7P 445487-21-8P 445487-22-9P
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445487-37-6P 445487-38-7P 445487-39-8P 445487-40-1P 445487-41-2P
445487-42-3P 445487-43-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(preparation of arylsulfonamidopiperidones as inhibitors of Factor Xa)

IT 75-36-5, Acetyl chloride 78-95-5, Chloroacetone 93-11-8, Naphthalene-2-sulfonyl chloride 96-32-2, Methyl bromoacetate 100-63-0, Phenylhydrazine 110-91-8, Morpholine, reactions 111-49-9, Azepane 124-40-3, Dimethylamine, reactions 141-43-5, 2-Aminoethanol, reactions 142-25-6, N,N,N'-Trimethylethane-1,2-diamine 280-74-0, 3,7-Diazabicyclo[3.3.1]nonane 621-84-1, Carbamic acid benzyl ester 814-75-5, 3-Bromo-2-butanone 831-25-4, 2-(4-Nitrophenyl)thiazolidine 924-44-7, Ethyl oxoacetate 927-68-4, 2-Bromoethyl acetate 2039-86-3, 3-Bromostyrene 2577-48-2, L-Proline methyl ester 2888-06-4, 3-Chlorophenylsulfonyl chloride 4023-34-1, Cyclopropanecarbonyl chloride 4747-71-1, Cyclopentyl isocyanate 6320-01-0, 3-Bromothiophenol 6684-39-5, 2-Chloro-5-pyridinesulfonyl chloride 7252-83-7, Bromoacetaldehyde dimethyl acetal 10365-98-7, 3-Methoxyphenylboronic acid 13816-21-2, 2,2'-Bithiazole 16761-18-5, 4-Acetylamino-3-chlorobenzenesulfonyl chloride 20724-48-5, L-Ornithine hydrochloride 23138-58-1, 3-Ethylphenyl isocyanate 23356-96-9 32654-45-8, 2-Aminopyridine hydrochloride 34079-31-7 43041-12-9, D-Proline methyl ester 51207-66-0 52147-98-5 63503-60-6, (3-Chlorophenyl)boronic acid 63808-36-6 69610-41-9 86864-60-0 102153-63-9, 6-Chloronaphthalene-2-sulfonyl chloride 103057-44-9, 1,1-Dimethylethyl 3-hydroxypyrrolidine-1-carboxylate 113451-59-5 128851-98-9, 5-Chlorobenzo[b]thiophene-2-sulfonyl chloride 132747-20-7 152839-21-9 162607-20-7, 5-Methylthiophene-2-boronic acid 166964-31-4, 5-(1-Methyl-5-trifluoromethyl-1H-pyrazol-3-yl)thiophene-2-sulfonyl chloride 174698-95-4, (S)-2-(Azidomethyl)pyrrolidine 205055-23-8 205055-37-4 206361-62-8 288083-19-2 288083-80-7, (R)-2-(Azidomethyl)pyrrolidine 324575-60-2 360044-67-3, 5-Bromo-2-chlorobenzothiophene 445274-86-2D, resin-bound 445280-90-0 445280-91-1 445280-93-3 445280-94-4 445280-97-7 445280-98-8 445280-99-9 445281-01-6 445487-44-5

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of arylsulfonamidopiperidones as inhibitors of Factor Xa)

IT 5118-13-8P, 4-Bromobenzo[b]thiophene 17347-32-9P, 6-Bromobenzo[b]thiophene 19296-69-6P 34294-79-6P 63808-47-9P 65370-33-4P 91790-91-9P, (S)-4-(2-Pyrrolidinylmethyl)morpholine 95582-17-5P 101385-93-7P, 1,1-Dimethylethyl 3-oxopyrrolidine-1-carboxylate 163457-23-6P, 3,3-Difluoropyrrolidine hydrochloride 195447-25-7P, 1,1-Dimethylethyl 3,3-difluoropyrrolidine-1-carboxylate 215791-90-5P 380236-96-4P 445280-37-5P 445280-38-6P 445280-39-7P 445280-40-0P 445280-41-1P 445280-42-2P 445280-43-3P 445280-44-4P 445280-45-5P 445280-46-6P 445280-47-7P 445280-48-8P 445280-49-9P 445280-50-2P 445280-51-3P 445280-52-4P 445280-53-5P 445280-54-6P 445280-55-7P 445280-56-8P 445280-57-9P 445280-58-0P, 2-Chlorobenzo[b]thiophene-5-sulfonyl chloride 445280-59-1P, 4-Bromo-2-chlorobenzo[b]thiophene 445280-60-4P, 6-Bromo-2-chlorobenzo[b]thiophene 445280-61-5P, 2-Chlorobenzo[b]thiophene-6-sulfonyl chloride 445280-62-6P 445280-63-7P 445280-64-8P 445280-65-9P 445280-66-0P 445280-67-1P 445280-68-2P 445280-69-3P 445280-70-6DP, resin-bound 445280-70-6P 445280-71-7P 445280-72-8P 445280-73-9P 445280-74-0P 445280-75-1P 445280-76-2P 445280-77-3P 445280-78-4P 445280-79-5P 445280-80-8P 445280-81-9P 445280-82-0P 445280-83-1P 445280-84-2P 445280-85-3P 445280-86-4P 445280-87-5P 445280-88-6P 445280-89-7P 445280-95-5P 445280-96-6P 445281-00-5P 445281-02-7P 445487-45-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of arylsulfonamidopiperidones as inhibitors of Factor Xa)

IT 445487-34-3P

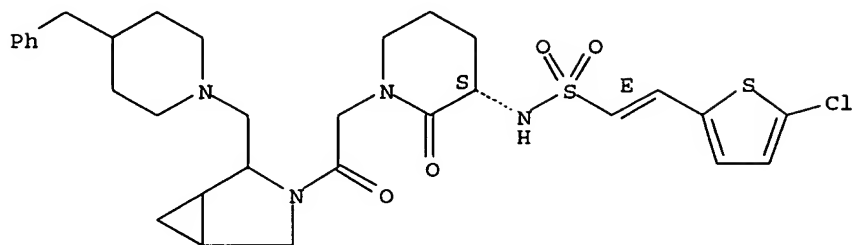
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of arylsulfonamidopiperidones as inhibitors of Factor Xa)

RN 445487-34-3 HCAPLUS

CN 3-Azabicyclo[3.1.0]hexane, 3-[[[(3S)-3-[[[(1E)-2-(5-chloro-2-thienyl)ethenyl]sulfonyl]amino]-2-oxo-1-piperidinyl]acetyl]-2-[[4-(phenylmethyl)-1-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



L27 ANSWER 2 OF 5 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 2002:438597 HCAPLUS

DN 138:66148

ED Entered STN: 11 Jun 2002

TI CCR3 antagonists: a potential new therapy for the treatment of asthma.
Discovery and structure-activity relationships

AU Wacker, Dean A.; Santella, Joseph B., III; Gardner, Daniel S.; Varnes, Jeffrey G.; Estrella, Melissa; DeLucca, George V.; Ko, Soo S.; Tanabe, Keiichi; Watson, Paul S.; Welch, Patricia K.; Covington, Maryanne; Stowell, Nicole C.; Wadman, Eric A.; Davies, Paul; Solomon, Kimberly A.; Newton, Robert C.; Trainor, George L.; Friedman, Steven M.; Decicco, Carl P.; Duncia, John V.

CS Experimental Station, Bristol-Myers Squibb Company, PO Box 80336, Wilmington, DE, 19880-0336, USA

SO Bioorganic & Medicinal Chemistry Letters (2002), 12(13), 1785-1789

CODEN: BMCLE8; ISSN: 0960-894X

PB Elsevier Science Ltd.

DT Journal

LA English

CC 1-3 (Pharmacology)

AB CCR3 antagonist leads with IC50 values in the μ M range were converted into low nM binding compds. that displayed in vitro inhibition of human eosinophil chemotaxis induced by human eotaxin. In particular, 4-benzylpiperidin-1-yl-n-propylureas and erythro-3-(4-benzyl-2-(α -hydroxyalkyl)piperidin-1-yl)-n-propylureas (obtained via Beckmann reaction of N-BOC-4-benzylpiperidine) exhibited single digit nanomolar IC50 values for CCR3.

ST CCR3 antagonist structure activity eosinophil chemotaxis inhibition asthma
IT Chemokine receptors

RL: BSU (Biological study, unclassified); BIOL (Biological study)
(CCR3; structure-activity relationships of CCR3 antagonists as antiasthmatics)

IT Chemotaxis

Eosinophil

(human eosinophil chemotaxis inhibition by CCR3 antagonists)

IT Antiasthmatics

Asthma

Human

Structure-activity relationship

(structure-activity relationships of CCR3 antagonists as antiasthmatics)

IT 275808-76-9 275808-94-1 275808-97-4 275809-11-5 275809-12-6
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275809-80-8 275809-88-6 275809-90-0 275810-18-9 275815-03-7
275815-07-1 275815-71-9 275815-78-6 275815-79-7 276242-91-2
276243-06-2 276244-31-6 276244-32-7 276871-25-1
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RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
(Biological study); USES (Uses)
(structure-activity relationships of CCR3 antagonists as
antiasthmatics)

RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE

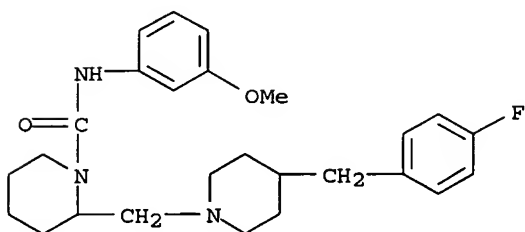
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IT 276871-25-1

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
(Biological study); USES (Uses)
(structure-activity relationships of CCR3 antagonists as
antiasthmatics)

RN 276871-25-1 HCAPLUS

CN 1-Piperidinecarboxamide, 2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-N-(3-methoxyphenyl)- (9CI) (CA INDEX NAME)



L27 ANSWER 3 OF 5 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 2002:31415 HCAPLUS

DN 136:102384
 ED Entered STN: 11 Jan 2002
 TI Preparation of N-ureidoheterocyclalkylpiperidines as modulators of CCR3
 chemokine receptor activity
 IN Ko, Soo S.; Pruitt, James R.; Wacker, Dean A.; Batt,
 Douglas G.
 PA Dupont Pharmaceuticals Company, USA
 SO PCT Int. Appl., 485 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 IC ICM C07D211-00
 CC 28-10 (Heterocyclic Compounds (More Than One Hetero Atom))
 Section cross-reference(s): 1

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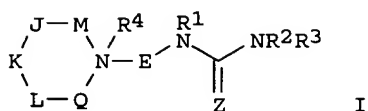
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	LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,				
	SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU,				
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	RW:				
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	EP 1296978	A2	20030402	EP 2001-952369	20010629
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	IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
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	BR 2001011878	A	20050524	BR 2001-11878	20010629
	US 2004058961	A1	20040325	US 2003-617303	20030710
	US 6949546	B2	20050927		
PRAI	US 2000-215215P	P	20000630		
	US 2001-895138	A3	20010629		
	WO 2001-US20989	W	20010629		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 2002002525	ICM	C07D211-00
WO 2002002525	ECLA	C07D211/56; C07D417/14R+277B+271+211; C07D417/14R+277B+211+207; C07D417/14R+335+277B+211; C07D417/14R+307B+277B+211; C07D417/14R+333B+277B+211; C07D417/14R+309+277B+211; C07D211/58; C07D211/60; C07D211/62; C07D211/96; C07D401/14+231+211+211; C07D401/14+257+211+211; C07D401/14+257+211+207; C07D405/06+309+211; C07D405/14+309+211+211; C07D405/14+309+257+211; C07D409/06+333B+211; C07D409/14+335+211+211; C07D409/14+333B+257+211; C07D413/14+271+211+211; C07D413/14R+271+257+211; C07D417/14+277B+211+211; C07D417/14+277B+211+207; C07D417/14+309+277B+211; C07D417/14+333B+277B+211; C07D417/14R+277B+211; C07D417/14R+277B+233+211
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JP 2004517805 FTERM C07D401/14+257+211+207; C07D401/14+257+211+211;
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4C086/MA04; 4C086/NA14; 4C086/ZA59; 4C086/ZA66;
4C086/ZA89; 4C086/ZB11; 4C086/ZB13; 4C086/ZB26;
4C086/ZC02; 4C086/ZC55
US 2004058961 NCL 514/331.000
ECLA C07D211/56; C07D211/58; C07D211/60; C07D211/62;
C07D211/96; C07D401/14+231+211+211;
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C07D405/06+309+211; C07D405/14+309+257+211;
C07D417/14+309+277B+211; C07D417/14+333B+277B+211;
C07D417/14R+277B+211+207; C07D417/14R+277B+271+211;
C07D417/14R+277B+233+211; C07D417/14R+277B+211;
C07D417/14R+309+277B+211; C07D417/14R+333B+277B+211;
C07D417/14R+307B+277B+211; C07D417/14R+335+277B+211

OS MARPAT 136:102384
GI



AB Title compds. [I; M = null, CHR5, CHR13, CR13R13, CR5R13; Q = CH2, CHR5, CHR13, CR13R13, CR5R13; J, K = CH2, CHR5, CHR6, CR6R6, CR5R6; L = CHR5, CR5R6; when M = null, J = CH2, CHR5, CHR13, CR5R13; Z = O, S, NR1a, C(CN)2, CH(NO2), CHCN; R1a = H, alkyl, cycloalkyl, CONR1bR1b, OR1b, CN, NO2, (alkyl)phenyl; R1b = H, alkyl, cycloalkyl, Ph; E = G(CHR')mB(CHR')m; G = bond, CO, SO2; B = (substituted) 5-7 membered saturated heterocyclyl; R1, R2 = H, alkyl, alkenyl, alkynyl, (alkyl)cycloalkyl; R3 = (substituted) alkyl, alkenyl, alkynyl, fluoroalkyl, haloalkyl, (alkyl)carbocyclyl, (alkyl)heterocyclyl; R4 = null, O, alkyl, alkenyl, alkynyl, etc.; R5 = (substituted) (alkyl)cycloalkyl, alkylheterocyclyl; R6 = alkyl, alkenyl, alkynyl, (alkyl)cycloalkyl, etc.; R13 = alkyl, alkenyl, alkynyl, cycloalkyl, etc.; R' = H, alkyl, alkenyl, alkynyl, etc.; m = 0-2], were prepared as modulators of CCR3 chemokine receptor activity (no data). Thus, (3R,4R)-4-amino-3-[(S)-3-(4-fluorobenzyl)piperidine-1-carbonyl]piperidine-1-carboxylic acid tert-Bu ester (preparation given) in THF/Et3N was treated with 3-acetylphenyl isocyanate followed by stirring for 17 h to give 62% (3R,4R)-4-[3-(3-acetylphenyl)ureido]-3-[(S)-3-(4-fluorobenzyl)piperidine-1-carbonyl]piperidine-1-carboxylic acid tert-Bu ester.

ST ureidoheterocyclylalkylpiperidine prepn chemokine receptor activity modulator; piperidinyltetrazolylphenylurea prepn chemokine receptor

activity modulator; antiasthmatic ureidoheterocyclalkylpiperidine prepn;
 allergy inhibitor ureidoheterocyclalkylpiperidine prepn; anticancer
 ureidoheterocyclalkylpiperidine prepn

IT Chemokine receptors
 RL: BSU (Biological study, unclassified); BIOL (Biological study)
 (CCR3, modulators; preparation of N-ureidoheterocyclalkylpiperidines as
 modulators of CCR3 chemokine receptor activity)

IT Allergy
 Inflammation
 Nose, disease
 (allergic rhinitis, treatment; preparation of N-
 ureidoheterocyclalkylpiperidines as modulators of CCR3 chemokine
 receptor activity)

IT Dermatitis
 (atopic, treatment; preparation of N-ureidoheterocyclalkylpiperidines as
 modulators of CCR3 chemokine receptor activity)

IT Skin, disease
 (bullous pemphigoid, treatment; preparation of N-
 ureidoheterocyclalkylpiperidines as modulators of CCR3 chemokine
 receptor activity)

IT Inflammation
 Intestine, disease
 (colitis, treatment of allergic colitis; preparation of N-
 ureidoheterocyclalkylpiperidines as modulators of CCR3 chemokine
 receptor activity)

IT Intestine, neoplasm
 (colon, treatment; preparation of N-ureidoheterocyclalkylpiperidines as
 modulators of CCR3 chemokine receptor activity)

IT Lung, disease
 (fibrosis, treatment; preparation of N-ureidoheterocyclalkylpiperidines as
 modulators of CCR3 chemokine receptor activity)

IT Intestine, disease
 (inflammatory, treatment; preparation of N-ureidoheterocyclalkylpiperidine
 s as modulators of CCR3 chemokine receptor activity)

IT Anthelmintics
 Anti-AIDS agents
 Antiasthmatics
 Antitumor agents
 Human
 (preparation of N-ureidoheterocyclalkylpiperidines as modulators of CCR3
 chemokine receptor activity)

IT Fibrosis
 (pulmonary, treatment; preparation of N-ureidoheterocyclalkylpiperidines
 as modulators of CCR3 chemokine receptor activity)

IT Cystic fibrosis
 Eczema
 Eosinophilia
 Hodgkin's disease
 Lymphoma
 (treatment; preparation of N-ureidoheterocyclalkylpiperidines as
 modulators of CCR3 chemokine receptor activity)

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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

(preparation of N-ureidoheterocyclylalkylpiperidines as modulators of CCR3
 chemokine receptor activity)

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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

(preparation of N-ureidoheterocyclylalkylpiperidines as modulators of CCR3
 chemokine receptor activity)

IT 78-84-2, Isobutyraldehyde 96-48-0, γ -Butyrolactone 121-90-4,
 3-Nitrobenzoyl chloride 124-63-0, Methanesulfonyl chloride 1885-14-9,
 Phenyl chloroformate 2689-68-1 3282-30-2, Trimethylacetyl chloride
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RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of N-ureidoheterocyclylalkylpiperidines as modulators of CCR3
 chemokine receptor activity)

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RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of N-ureidoheterocyclalkylpiperidines as modulators of CCR3 chemokine receptor activity)

IT 388097-00-5P

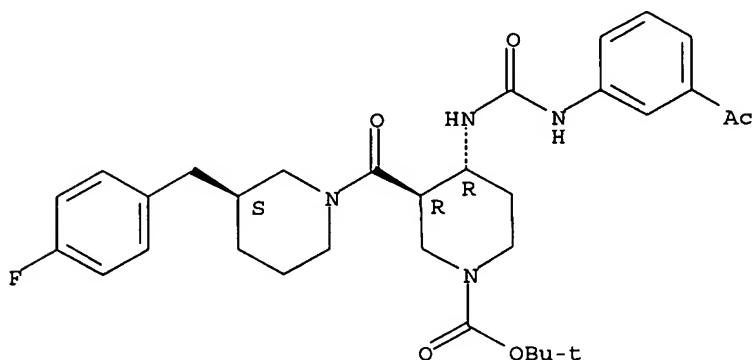
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-ureidoheterocyclalkylpiperidines as modulators of CCR3 chemokine receptor activity)

RN 388097-00-5 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[(3-acetylphenyl)amino]carbonyl]amino]-3-[[[(3S)-3-[(4-fluorophenyl)methyl]-1-piperidinyl]carbonyl]-, 1,1-dimethylethyl ester, (3R,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L27 ANSWER 4 OF 5 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 2001:935573 HCAPLUS

DN 136:53686

ED Entered STN: 28 Dec 2001

TI Synthesis of piperidine-amido-ureas as modulators of chemokine receptor activity

IN Duncia, John V.; Santella, Joseph B.; Wacker, Dean A.; Yao, Wenqing; Zheng, Changsheng

PA Dupont Pharmaceuticals Company, USA

SO PCT Int. Appl., 326 pp.

CODEN: PIXXD2

DT Patent

LA English

IC ICM C07D211-14

ICS C07D471-10; C07D211-18; C07D207-06; C07D401-06; C07D401-12; C07D417-12; C07D211-34; C07D211-52; C07D405-06; C07D413-12; C07D403-12; C07D401-14; C07D417-14; A61K031-4545; A61P029-00; A61P011-06

CC 27-16 (Heterocyclic Compounds (One Hetero Atom))

Section cross-reference(s): 1, 34, 63

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001098268	A2	20011227	WO 2001-US19705	20010620
WO 2001098268	A3	20020808		

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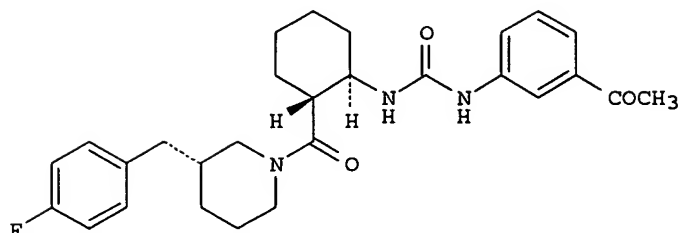
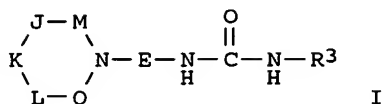
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US 6638950	B2	20031028		
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CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
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WO 2001098268	ECLA	C07D207/06; C07D211/14; C07D211/18; C07D211/34; C07D211/52; C07D401/06+233+211; C07D401/12+213+211; C07D401/12+215+211; C07D401/12+217+211; C07D401/12+231+211; C07D401/12+239+211; C07D401/12+249B+211; C07D401/14+257+257+211; C07D403/12+233+207; C07D403/12+231+207; C07D405/06+317+211; C07D413/12+261+211; C07D417/12+277+211; C07D417/12+277B+211; C07D417/12+285B+211; C07D417/14+233+233+211; C07D471/10+221C+209C
US 2002156102	NCL ECLA	514/331.000 C07D207/06; C07D401/12+215+211; C07D401/12+213+211; C07D401/12+239+211; C07D401/12+231+211; C07D401/12+249B+211; C07D401/14+257+257+211; C07D403/12+231+207; C07D403/12+233+207; C07D405/06+317+211; C07D413/12+261+211; C07D417/12+277B+211; C07D417/12+277+211; C07D417/12+285B+211; C07D417/14+233+233+211; C07D471/10+221C+209C; C07D211/14; C07D211/18; C07D211/34; C07D211/52; C07D401/06+233+211; C07D401/12+217+211
JP 2004516237	FTERM	4C054/AA02; 4C054/CC04; 4C054/CC08; 4C054/CC09; 4C054/DD01; 4C054/EE05; 4C054/EE11; 4C054/FF01; 4C063/AA01; 4C063/AA03; 4C063/BB09; 4C063/CC12; 4C063/CC25; 4C063/CC41; 4C063/CC47; 4C063/CC62; 4C063/DD10; 4C063/DD19; 4C063/EE01; 4C086/AA01; 4C086/AA03; 4C086/BC21; 4C086/BC38; 4C086/BC60; 4C086/BC62; 4C086/BC73; 4C086/BC82; 4C086/GA07; 4C086/GA08; 4C086/GA10; 4C086/GA12; 4C086/MA01; 4C086/MA04; 4C086/NA14; 4C086/ZA33; 4C086/ZA45; 4C086/ZA51; 4C086/ZA59; 4C086/ZA68; 4C086/ZA89; 4C086/ZB02; 4C086/ZB11; 4C086/ZB13; 4C086/ZB15; 4C086/ZB26; 4C086/ZB33; 4C086/ZB37; 4C086/ZC41; 4C086/ZC55
US 2004082790	NCL ECLA	546/246.000 C07D207/06; C07D211/14; C07D211/18; C07D211/34; C07D211/52; C07D401/06+233+211; C07D401/12+217+211; C07D401/12+215+211; C07D401/12+213+211; C07D401/12+239+211; C07D401/12+231+211; C07D401/12+249B+211; C07D401/14+257+257+211; C07D403/12+231+207; C07D403/12+233+207; C07D405/06+317+211; C07D413/12+261+211; C07D417/12+277B+211; C07D417/12+277+211; C07D417/12+285B+211; C07D417/14+233+233+211;

C07D471/10+221C+209C

OS MARPAT 136:53686
GI

AB Title compds. I [M = absent CH₂, CHR5, CHR13, CR13R13, and CR5R13; Q = CH₂, CHR5, CHR13, CR13R13, and CR5R13; K = CH₂, CHR5 and CHR6; J, L = CH₂, CHR5, CHR6, CR6R6 and CR5R6; with the provisos that at least one of M, J, K, L, or Q contains an R5; and when M absent, J = CH₂, CHR5, CHR13 and CR5R13; Z = O, S, NR1a, C(CN)₂, CH(NO)₂, CHCN; R1a = H, (cyclo)alkyl, amido, alkoxy, CN, NO₂, etc.; E = C:O-alkyl, sulfonyl-alkyl, C:O-cycloalkyl; etc.; R3 = alkylamino, alkyl-carbocyclic, etc.; R5 = alkyl-carbocyclic; R6 = alk(en/yn)yl, alkyl-cycloalkyl, CN, alkylamino, alkyl-hydroxy, etc.; R13 = alk(en/yn)yl, cycloalkyl, alkyl-CF₃, alkylamino, alkyl-alkoxy; etc.] were prepared. Over 80 synthetic examples were disclosed. For instance, (1R,2R)-2-(benzyloxycarbonylamino)cyclohexanecarboxaldehyde (preparation given) was oxidized to the corresponding carboxylic acid (NaOAc/HOAc, pH 3.5, CH₃CN, resorcinol, NaClO₂, 0°C, 16 h) and condensed with (S)-3-(4-fluorobenzyl)piperidine (preparation given; CH₂Cl₂, BOP, Et₃N, 0°C, 16 h) to give the amide. The intermediate Cbz group was removed (MeOH, 10% Pd/C, 50 psi H₂, overnight) and the amine acylated with 3-acetylphenylisocyanate (THF, 25°C) to give example compound II. I are modulators of chemokine receptor activity and are useful in the prevention of asthma and other allergic diseases.

ST piperidineamidoureas piperidine urea chemokine receptor prepn

IT Chemokine receptors

RL: BSU (Biological study, unclassified); BIOL (Biological study)
(CCR3; synthesis of piperidine amides as modulators of chemokine receptor activity)

IT Allergy

Inflammation

Nose, disease

(allergic rhinitis; synthesis of piperidine amides as modulators of chemokine receptor activity)

IT Dermatitis

(atopic; synthesis of piperidine amides as modulators of chemokine receptor activity)

IT Skin, disease

(bullous pemphigoid; synthesis of piperidine amides as modulators of chemokine receptor activity)

IT Inflammation

(cellulitis; synthesis of piperidine amides as modulators of chemokine receptor activity)

IT Inflammation
Intestine, disease
(colitis, allergic; synthesis of piperidine amides as modulators of chemokine receptor activity)

IT Intestine, neoplasm
(colon, carcinoma; synthesis of piperidine amides as modulators of chemokine receptor activity)

IT Carcinoma
(colon; synthesis of piperidine amides as modulators of chemokine receptor activity)

IT Eye, disease
Inflammation
(conjunctivitis; synthesis of piperidine amides as modulators of chemokine receptor activity)

IT Eosinophilia
(familial; synthesis of piperidine amides as modulators of chemokine receptor activity)

IT Lung, disease
(fibrosis; synthesis of piperidine amides as modulators of chemokine receptor activity)

IT Intestine, disease
(inflammatory; synthesis of piperidine amides as modulators of chemokine receptor activity)

IT Fibrosis
(pulmonary; synthesis of piperidine amides as modulators of chemokine receptor activity)

IT Anti-inflammatory agents
Antiasthmatics
Cystic fibrosis
Eczema
Hodgkin's disease
Human
Human immunodeficiency virus
Lymphoma
Transplant and Transplantation
(synthesis of piperidine amides as modulators of chemokine receptor activity)

IT Chemokines
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(synthesis of piperidine amides as modulators of chemokine receptor activity)

IT 382636-52-4P 382636-53-5P 382636-54-6P 382636-55-7P 382636-56-8P
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382637-02-7P 382637-03-8P 382637-04-9P 382637-05-0P 382637-06-1P
382637-07-2P 382637-08-3P 382637-09-4P 382637-10-7P 382637-11-8P
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382637-34-5P 382637-35-6P 382637-36-7P 382637-37-8P 382637-38-9P
382637-39-0P 382637-77-6P 382638-03-1P 382638-04-2P 382638-05-3P
382638-06-4P 382638-07-5P 382638-08-6P 382638-09-7P
382638-10-0P 382638-11-1P 382638-12-2P 382638-14-4P 382638-15-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
(drug; synthesis of piperidine amides as modulators of chemokine receptor activity)

IT 382637-50-5P 382637-75-4P

RL: PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; synthesis of piperidine amides as modulators of chemokine receptor activity)

IT 382637-73-2

RL: RCT (Reactant); RACT (Reactant or reagent)
(intermediate; synthesis of piperidine amides as modulators of chemokine receptor activity)

IT 2585-23-1P, N-Methyl-4-nitrobenzamide 20743-51-5P, 1-Methyl-5-(4-nitrophenyl)-1H-tetrazole 63327-57-1P 64594-45-2P 136703-59-8P
136703-60-1P 159877-47-1P 159991-23-8P 213672-84-5P,
trans-(1R,2R)-1-(Benzyloxycarbonylamino)-2-hydroxymethylcyclohexane
260999-28-8P 267230-48-8P, (1R,2R)-2-(Benzyloxycarbonylamino)cyclohexane
carboxylic acid 275815-60-6P, (1R,2R)-2-(Benzyloxycarbonylamino)cyclohexane
anecarboxaldehyde 382637-43-6P 382637-45-8P 382637-62-9P
382637-64-1P 382637-68-5P, 4-(1-Methyl-1H-tetrazol-5-yl)phenylamine
382637-69-6P, [4-(1-Methyl-1H-tetrazol-5-yl)phenyl]carbamic acid phenyl
ester 382637-70-9P 382637-71-0P 382637-74-3P 382637-76-5P
382637-79-8P 382637-81-2P 382637-82-3P 382637-83-4P 382637-84-5P
382637-85-6P 382637-86-7P 382637-87-8P 382637-88-9P 382637-89-0P
382637-90-3P 382637-91-4P 382637-92-5P 382637-93-6P 382637-94-7P
382637-95-8P 382637-96-9P 382637-97-0P 382637-98-1P 382638-00-8P
382638-01-9P 382638-02-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; synthesis of piperidine amides as modulators of chemokine receptor activity)

IT 98977-36-7P

RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(intermediate; synthesis of piperidine amides as modulators of chemokine receptor activity)

IT 78-84-2, Isobutyraldehyde 122-04-3, 4-Nitrobenzoyl chloride 3462-95-1,
(4-Fluorophenylmethyl)triphenylphosphonium chloride 5545-52-8
23138-64-9, 3-Acetylphenyl isocyanate 30925-18-9 38235-77-7
50606-58-1, N-Benzyl-3-piperidinone hydrochloride 59768-74-0
62234-36-0 88950-64-5 131570-56-4 178737-10-5 275815-80-0,
(S)-3-(4-Fluorobenzyl)piperidine 276252-46-1, [3-(N-Methylcarboxamido)phenyl]carbamic acid phenyl ester 382637-47-0,
3-(4-Fluorobenzyl)piperidine 382637-78-7, [3-(1-Methyl-1H-tetrazol-5-yl)phenyl]carbamic acid phenyl ester 382637-99-2

RL: RCT (Reactant); RACT (Reactant or reagent)

(reactant; synthesis of piperidine amides as modulators of chemokine receptor activity)

IT 382638-07-5P

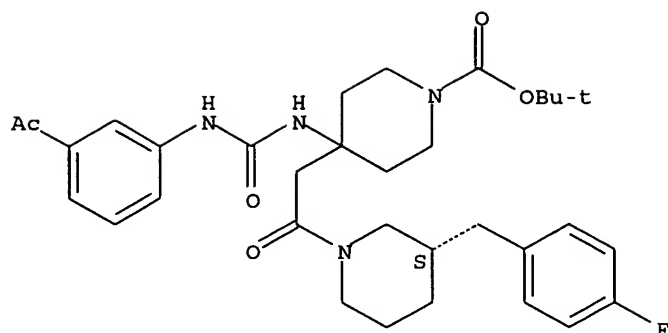
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug; synthesis of piperidine amides as modulators of chemokine receptor activity)

RN 382638-07-5 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[(3-acetylphenyl)amino]carbonyl]amino]-4-[2-[(3S)-3-[(4-fluorophenyl)methyl]-1-piperidinyl]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



own
work

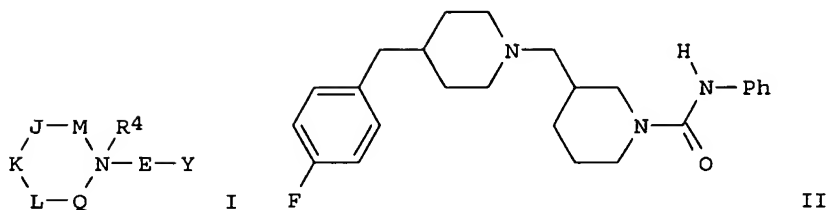
L27 ANSWER 5 OF 5 HCAPLUS COPYRIGHT 2005 ACS on STN
 AN 2000:421105 HCAPLUS
 DN 133:58720
 ED Entered STN: 23 Jun 2000
 TI Preparation of heterocyclic piperidines as modulators of chemokine
 receptor activity
 IN Ko, Soo S.; Delucca, George V.; Duncia, John
 V.; Santella, Joseph B., III; Wacker, Dean A.
 PA Du Pont Pharmaceuticals Co., USA
 SO PCT Int. Appl., 219 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 IC ICM C07D211-26
 ICS C07D403-06; C07D409-14; A61K031-445; A61K031-47; A61K031-495
 CC 27-17 (Heterocyclic Compounds (One Hetero Atom))
 Section cross-reference(s): 1, 63
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000035877	A1	20000622	WO 1999-US30314	19991217
W: AL, AU, BR, CA, CN, CZ, EE, HU, IL, IN, JP, KR, LT, LV, MK, MX, NO, NZ, PL, RO, SG, SI, SK, TR, UA, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2347912	AA	20000622	CA 1999-2347912	19991217
EP 1140834	A1	20011010	EP 1999-964293	19991217
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 6331545	B1	20011218	US 1999-465949	19991217
US 2002119980	A1	20020829	US 2001-981833	20011018
US 6759411	B2	20040706		
US 2004186097	A1	20040923	US 2004-809772	20040325
PRAI US 1998-112714P	P	19981218		
US 1999-465949	A3	19991217		
WO 1999-US30314	W	19991217		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 2000035877	ICM	C07D211-26
	ICS	C07D403-06; C07D409-14; A61K031-445; A61K031-47; A61K031-495
WO 2000035877	ECLA	C07D211/26; C07D401/14+231+211+207; C07D401/14+235C+211+211; C07D401/14+231+211+211; C07D405/14+307B+211+207; C07D405/14+307B+211+211; C07D409/14+333B+241B+211; C07D409/14+333B+211+207; C07D409/14+333B+211+211; C07D413/06+265D+211; C07D413/14+263+211+207; C07D413/14+263+211+211;

US 6331545 NCL C07D417/14+277B+211+207; C07D417/14+277B+211+211;
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C07D401/14+213+211+207; C07D401/14+211+211+209C;
C07D401/14+213+211+211; C07D401/14+235C+211+207
514/253.010; 514/227.800; 514/231.500; 514/254.010;
514/307.000; 514/316.000; 544/060.000; 544/129.000;
544/141.000; 544/360.000; 544/364.000; 544/365.000;
544/372.000; 546/146.000; 546/186.000; 546/190.000;
546/191.000
ECLA C07D211/26; C07D401/06+241B+211; C07D401/14+213+211+211;
C07D401/14+211+211+209C; C07D401/14+213+211+207;
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C07D401/14+235C+211+211; C07D401/14+231+211+207;
C07D401/14+235C+211+207; C07D405/14+307B+211+211;
C07D405/14+307B+211+207; C07D409/14+333B+211+211;
C07D409/14+333B+211+207; C07D409/14+333B+241B+211;
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ECLA C07D211/26; C07D401/14+235C+211+211;
C07D401/14+231+211+207; C07D401/14+235C+211+207;
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C07D409/14+333B+241B+211; C07D413/06+265D+211;
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C07D401/14+211+211+209C; C07D401/14+213+211+207;
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514/217.120
US 2004186097 NCL C07D211/26; C07D401/06+241B+211;
ECLA C07D401/14+211+209C+207; C07D401/14+211+211+209C;
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C07D409/14+333B+241B+211; C07D413/06+265D+211;
C07D413/14+263+211+207; C07D413/14+263+211+211;
C07D417/14+277B+211+207; C07D417/14+277B+211+211
OS MARPAT 133:58720
GI



AB The title compds. [I; M = absent, CH₂, (4-FC₆H₄CH₂)CH, etc.; Q = CH₂, (4-FC₆H₄CH₂)CH, etc.; J, K, L = CH₂, (4-FC₆H₄CH₂)CH, etc.; E = CH₂, (CH₂)₂, etc.; Y = piperidinyl, piperazinyl, isoquinolinyl, etc. (N-substituted with CONHPh, CPh, etc.); R₄ = absent, alkyl, alkenyl, etc.], modulators of CCR3 useful for the prevention of asthma and other allergic diseases, were prepared and formulated. E.g., a multi-step synthesis of II was given. Compds. I are effective at 1.0-20 mg/kg/day.

ST heterocyclic piperidine prepn formulation chemokine CCR3 modulator;

antialsthmatic heterocyclic piperidine prepn formulation; allergy inhibitor
heterocyclic piperidine prepn formulation; antiinflammatory heterocyclic
piperidine prepn formulation

IT Allergy inhibitors
Anti-inflammatory agents
Antialsthmetics
(preparation of heterocyclic piperidines as modulators of chemokine receptor
activity)

IT Chemokine receptors
RL: BSU (Biological study, unclassified); MSC (Miscellaneous); BIOL
(Biological study)
(β chemokine receptor CCR3; preparation of heterocyclic piperidines as
modulators of chemokine receptor activity)

IT 276872-71-0P 276872-72-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT
(Reactant or reagent); USES (Uses)
(preparation of heterocyclic piperidines as modulators of chemokine receptor
activity)

IT 276871-23-9P 276871-24-0P 276871-25-1P
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276872-70-9P 276872-73-2P 276872-74-3P 276872-75-4P
276872-76-5P 276872-77-6P 276872-78-7P 276872-79-8P 276872-80-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterocyclic piperidines as modulators of chemokine receptor
activity)

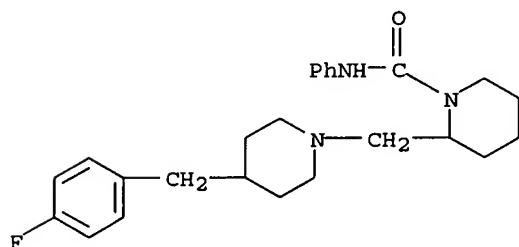
IT 89-93-0, 2-Methylbenzylamine 100-52-7, Benzaldehyde, reactions
 103-71-9, Phenyl isocyanate, reactions 556-52-5, 2-
 (Hydroxymethyl)oxirane 1532-97-4, 4-Bromoisoquinoline 3462-95-1
 4606-65-9, 3-Hydroxymethylpiperidine 16413-26-6, 3-Cyanophenyl
 isocyanate 24850-33-7, Allyltributyltin 40499-83-0, 3-Pyrrolidinol
 61995-20-8 67123-97-1 79099-07-3 92822-02-1, 4-(4-
 Fluorophenylmethyl)piperidine 98977-36-7 116574-71-1,
 N-(tert-Butoxycarbonyl)-3-piperidinemethanol 130250-54-3 218278-58-1
 276873-03-1
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of heterocyclic piperidines as modulators of chemokine receptor
 activity)

IT 2930-05-4P 66967-18-8P 92822-03-2P 95656-88-5P 104668-15-7P
 130312-02-6P 135065-69-9P 138350-83-1P 138350-86-4P 140695-91-6P
 140695-92-7P 151250-90-7P 151838-62-9P 157634-00-9P 157634-02-1P
 168264-90-2P 170838-92-3P 170842-80-5P 183951-17-9P 191092-05-4P
 243858-66-4P 276872-81-2P 276872-82-3P 276872-83-4P
 276872-84-5P 276872-85-6P 276872-86-7P 276872-87-8P
 276872-88-9P 276872-89-0P 276872-90-3P 276872-91-4P
 276872-92-5P 276872-93-6P 276872-94-7P 276872-95-8P
 276872-96-9P 276872-97-0P 276872-98-1P 276872-99-2P
 276873-00-8P 276873-01-9P 276873-02-0P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (preparation of heterocyclic piperidines as modulators of chemokine receptor
 activity)

RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
 RE
 (1) Hesselgesser, J; JOURNAL OF BIOLOGICAL CHEMISTRY 1998, V273(25), P15687
 HCAPLUS
 (2) Kirchner; US 3133061 A 1964 HCAPLUS
 (3) Lovens, K; DE 2013179 A 1970 HCAPLUS
 (4) Merck & Co; WO 9825604 A 1998 HCAPLUS
 (5) Merck & Co; WO 9827815 A 1998 HCAPLUS
 (6) Merck & Co; WO 9831364 A 1998 HCAPLUS
 (7) Merck & Co; WO 9909984 A 1999 HCAPLUS
 (8) Weston; US 2684965 A 1954 HCAPLUS

IT 276871-23-9P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological
 study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
 BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of heterocyclic piperidines as modulators of chemokine receptor
 activity)

RN 276871-23-9 HCAPLUS
 CN 1-Piperidinecarboxamide, 2-[[4-[(4-fluorophenyl)methyl]-1-
 piperidinyl]methyl]-N-phenyl- (9CI) (CA INDEX NAME)



=> d all hitstr 139 tot

L39 ANSWER 1 OF 4 HCAPLUS COPYRIGHT 2005 ACS on STN
 AN 2004:817881 HCAPLUS

DN 141:332055
 ED Entered STN: 07 Oct 2004
 TI Preparation of piperidine derivatives for the treatment of chemokine or H1 mediated disease state
 IN Luckhurst, Christopher; Perry, Matthew; Springthorpe, Brian
 PA Astrazeneca AB, Swed.
 SO PCT Int. Appl., 44 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 IC ICM C07D401-06
 ICS C07D401-14; A61K031-4545; A61P011-00; A61P017-00; A61P019-00; A61P029-00; A61P037-00
 CC 27-16 (Heterocyclic Compounds (One Hetero Atom))
 Section cross-reference(s): 1, 63

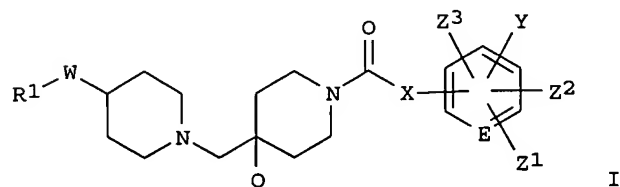
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004085423	A1	20041007	WO 2004-SE450	20040323
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRAI SE 2003-850 A 20030325

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 2004085423	ICM	C07D401-06
	ICS	C07D401-14; A61K031-4545; A61P011-00; A61P017-00; A61P019-00; A61P029-00; A61P037-00
WO 2004085423	ECLA	C07D401/06+211+211; C07D401/14+213+211+211
OS	MARPAT	141:332055
GI		



AB The title compds. [I; E = CH, N; Q = H, OH; W = CH₂, O, NR₂; X = a bond, CH₂, CH₂O; Y = OH, SO₃H, CH₂SO₃H, etc.; Z₁-Z₃ = H, halo, CN, NO₂, etc.; R₁ = (un)substituted Ph; R₂ = H, alkyl], useful in the treatment of a chemokine (such as CCR3) or H1 mediated disease state, were prepared Thus, reacting 4-([4-(3,4-dichlorophenoxy)piperidin-1-yl]methyl)piperidine with phthalic anhydride followed by treatment of the reaction mixture with AcOH afforded 2-([4-([4-(3,4-dichlorophenoxy)-1-piperidinyl]methyl)-1-piperidinyl]carbonyl)benzoic acid which showed pK_i of 6.5 in human H1 receptor binding assay. The pharmaceutical composition comprising the compound I is claimed.

ST piperidine prepn chemokine CCR3 histamine H1 antagonist
 IT Chemokine receptors

- RL: BSU (Biological study, unclassified); BIOL (Biological study)
(CCR3; preparation of piperidine derivs. for the treatment of chemokine or H1 mediated disease state)
- IT Histamine receptors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(H1; preparation of piperidine derivs. for the treatment of chemokine or H1 mediated disease state)
- IT Human
(preparation of piperidine derivs. for the treatment of chemokine or H1 mediated disease state)
- IT Chemokine receptors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(preparation of piperidine derivs. for the treatment of chemokine or H1 mediated disease state)
- IT 770729-78-7P, Methyl 2-[2-[[4-[[4-(3,4-dichlorophenoxy)-1-piperidinyl]methyl]-1-piperidinyl]carbonyl]phenyl]acetate 770729-81-2P, Methyl 4-[[4-[[4-(3,4-dichlorophenoxy)-1-piperidinyl]methyl]-1-piperidinyl]carbonyl]benzoate
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of piperidine derivs. for the treatment of chemokine or H1 mediated disease state)
- IT 770729-73-2P, 2-[[4-[[4-(3,4-Dichlorophenoxy)-1-piperidinyl]methyl]-1-piperidinyl]carbonyl]benzoic acid 770729-74-3P, 2-[[4-[[4-(2,4-Dichloro-3-methylphenoxy)-1-piperidinyl]methyl]-1-piperidinyl]carbonyl]benzoic acid 770729-75-4P, 2-[[4-[[4-(3,4-Dichloro-2-methylphenoxy)-1-piperidinyl]methyl]-1-piperidinyl]carbonyl]benzoic acid 770729-76-5P, 2-[[4-[[4-(3,4-Dichlorophenoxy)-1-piperidinyl]methyl]-1-piperidinyl]carbonyl]-3,6-difluorobenzoic acid 770729-77-6P, 2-[[4-[[4-[[4-(Fluorophenyl)methyl]-1-piperidinyl]methyl]-1-piperidinyl]carbonyl]benzoic acid 770729-79-8P, Methyl 3-[[4-[[4-(3,4-dichlorophenoxy)-1-piperidinyl]methyl]-1-piperidinyl]carbonyl]benzoate 770729-80-1P, Methyl 2-[[4-[[4-(3,4-dichlorophenoxy)-1-piperidinyl]methyl]-1-piperidinyl]carbonyl]-4-methoxybenzoate 770729-82-3P, 1-Methylethyl 3-[[4-[[4-(3,4-dichlorophenoxy)-1-piperidinyl]methyl]-1-piperidinyl]carbonyl]-2-pyridinecarboxylate 770729-83-4P, Methyl 4-chloro-2-[[4-[[4-(3,4-dichlorophenoxy)-1-piperidinyl]methyl]-1-piperidinyl]carbonyl]benzoate 770729-84-5P, 2-[2-[[4-[[4-(3,4-Dichlorophenoxy)-1-piperidinyl]methyl]-1-piperidinyl]carbonyl]phenyl]acetic acid 770729-85-6P, 3-[[4-[[4-(3,4-Dichlorophenoxy)-1-piperidinyl]methyl]-1-piperidinyl]carbonyl]benzoic acid 770729-86-7P, 3-[[4-[[4-(3,4-Dichlorophenoxy)-1-piperidinyl]methyl]-1-piperidinyl]carbonyl]-2-pyridinecarboxylic acid 770729-87-8P, 2-[[4-[[4-(3,4-Dichlorophenoxy)-1-piperidinyl]methyl]-1-piperidinyl]carbonyl]-4-methoxybenzoic acid 770729-88-9P, 4-[[4-[[4-(3,4-Dichlorophenoxy)-1-piperidinyl]methyl]-1-piperidinyl]carbonyl]benzoic acid 770729-89-0P, 2-[[4-[[4-(3,4-Dichlorophenoxy)-1-piperidinyl]methyl]-1-piperidinyl]carbonyl]-4-methylbenzoic acid 770729-90-3P, 4-Chloro-2-[[4-[[4-(3,4-dichlorophenoxy)-1-piperidinyl]methyl]-1-piperidinyl]carbonyl]benzoic acid sodium salt 770729-91-4P, 4-[[4-(3,4-Dichlorophenoxy)-1-piperidinyl]methyl]-1-[4-hydroxy-3-(methylsulfonyl)benzoyl]piperidine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperidine derivs. for the treatment of chemokine or H1 mediated disease state)
- IT 85-44-9, Phthalic anhydride 1877-71-0, Monomethyl isophthalate 14736-50-6 22921-68-2, 2-Bromo-5-methoxybenzoic acid 137076-22-3, tert-Butyl 4-formylpiperidine-1-carboxylate 213598-13-1, 4-Methoxy-3-(methylsulfonyl)benzoic acid 245057-73-2, 4-(3,4-Dichlorophenoxy)piperidine
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of piperidine derivs. for the treatment of chemokine or H1 mediated disease state)

IT 676517-39-8P, 4-(3,4-Dichlorophenoxy)-1-(4-piperidinylmethyl)piperidine
 676517-41-2P, 1,1-Dimethylethyl 4-[[4-(3,4-dichlorophenoxy)-1-piperidinyl]methyl]-1-piperidinecarboxylate 676517-42-3P,
 4-(2,4-Dichloro-3-methylphenoxy)-1-(4-piperidinylmethyl)piperidine
 676517-43-4P, 4-(4-Chloro-2-methylphenoxy)-1-(4-piperidinylmethyl)piperidine 676517-45-6P, 4-(3,4-Dichloro-2-methylphenoxy)-1-(4-piperidinylmethyl)piperidine 681469-62-5P
 770729-92-5P, 4-[[4-(4-Fluorophenyl)methyl]-1-(4-piperidinylmethyl)piperidine
 770729-93-6P, 4-[[4-(3,4-Dichlorophenoxy)-1-piperidinyl]methyl]-1-[4-methoxy-3-(methylsulfonyl)benzoyl]piperidine
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of piperidine derivs. for the treatment of chemokine or H1 mediated disease state)

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD

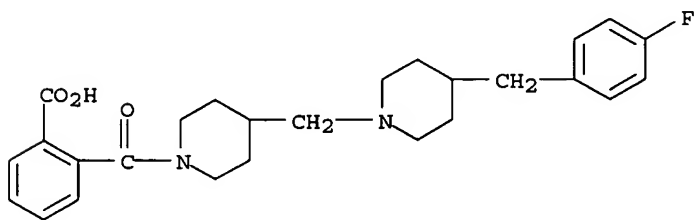
RE

- (1) Astrazeneca Ab; WO 0177101 A1 2001 HCAPLUS
- (2) Du Pont Pharmaceuticals Company; WO 0035877 A1 2000 HCAPLUS
- (3) Lovens Kemiske Fabrik Produktionsaktieselskab; GB 1250719 A 1971 HCAPLUS
- (4) Smithkline Beecham P L C; WO 020791190 A1 2002

IT 770729-77-6P, 2-[[4-[[4-[(4-Fluorophenyl)methyl]-1-piperidinyl]methyl]-1-piperidinyl]carbonyl]benzoic acid
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of piperidine derivs. for the treatment of chemokine or H1 mediated disease state)

RN 770729-77-6 HCAPLUS

CN Benzoic acid, 2-[[4-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-1-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)



L39 ANSWER 2 OF 4 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 2003:511296 HCAPLUS

DN 139:85334

ED Entered STN: 04 Jul 2003

TI Preparation of benzyl cyclic amines such as benzylpiperidine derivatives as serotonin reuptake inhibitors

IN Kodo, Toru; Yagi, Hideki; Dan, Akihito; Masumoto, Shuji; Kinomura, Naoya; Koyama, Koji

PA Sumitomo Pharmaceuticals Co., Ltd., Japan

SO PCT Int. Appl., 186 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

IC ICM C07D211-26

ICS C07D211-22; C07D401-06; C07D413-06; A61K031-445; A61K031-4545; A61K031-4709; A61K031-5355; A61P001-00; A61P003-04; A61P005-00; A61P009-12; A61P015-00; A61P025-06; A61P025-16; A61P025-22; A61P025-24; A61P025-28; A61P025-30; A61P025-32

CC 28-6 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 1, 27

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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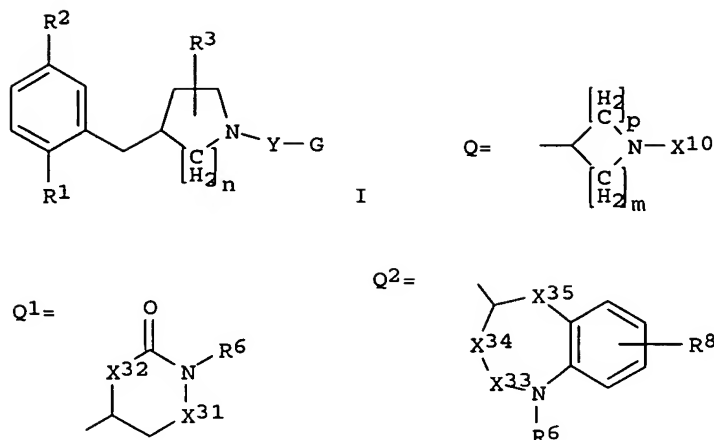
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PI    WO 2003053928      A1    20030703      WO 2002-JP13043      20021212
      W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
          CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
          GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS,
          LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL,
          PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG,
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      RW:  GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
          KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
          FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ,
          CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
      EP 1466901      A1    20041013      EP 2002-790747      20021212
      R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
          IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK
      US 2005065140      A1    20050324      US 2004-498482      20040816
PRAI  JP 2001-379598      A    20011213
      JP 2001-399453      A    20011228
      JP 2002-7140      A    20020116
      WO 2002-JP13043      W    20021212

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CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 2003053928	ICM ICS	C07D211-26 C07D211-22; C07D401-06; C07D413-06; A61K031-445; A61K031-4545; A61K031-4709; A61K031-5355; A61P001-00; A61P003-04; A61P005-00; A61P009-12; A61P015-00; A61P025-06; A61P025-16; A61P025-22; A61P025-24; A61P025-28; A61P025-30; A61P025-32
WO 2003053928	ECLA	A61K031/445; A61K031/4545; A61K031/4709; A61K031/5355; C07D211/18; C07D211/22; C07D211/24; C07D211/26; C07D211/34; C07D401/06+211+209C; C07D401/06+215+211; C07D401/06+233+211; C07D401/06+239B+211; C07D413/06+263B+211; C07D413/06+265D+211; C07D417/06+277B+211
EP 1466901	ECLA	A61K031/445; A61K031/4545; A61K031/4709; A61K031/5355; C07D211/18; C07D211/22; C07D211/24; C07D211/26; C07D211/34; C07D401/06+211+209C; C07D401/06+215+211; C07D401/06+233+211; C07D401/06+239B+211; C07D413/06+263B+211; C07D413/06+265D+211; C07D417/06+277B+211
US 2005065140	NCL ECLA	514/210.200 A61K031/445; A61K031/4545; A61K031/4709; A61K031/5355; C07D211/18; C07D211/22; C07D211/24; C07D211/26; C07D211/34; C07D401/06+211+209C; C07D401/06+215+211; C07D401/06+233+211; C07D401/06+239B+211; C07D413/06+263B+211; C07D413/06+265D+211; C07D417/06+277B+211
OS GI	MARPAT 139:85334	



AB Disclosed is a serotonin reuptake inhibitor which contains as an active ingredient a cyclic amine represented by the formula (I) [wherein G = Q, -Z2-X20, Z3; R2 = H, halo, HO, each (un)substituted alkyl, alkoxy, or alkylthio; R3 = H, lower alkyl; Y = (un)substituted alkylene; n = 1,2,3; m = 0, 1,2,3; p = 1,2,3,4; wherein X10 = H, cycloalkyl, each (un)substituted alkyl, alkanoyl, alkanesulfonyl, alkylcarbamoyl, alkylsulfamoyl, alkoxy-carbonyl, or amidino; X20 = HO, carbamoyloxy, each (un)substituted alkyl, NH2, alkoxy, or alkylcarbamoyloxy; Z2 = cycloalkane ring; Z3 = Q1, Q2; wherein X31 = a bond, CH2, CO; X32 = O, S, alkyl-(un)substituted NH; R6 = H, (un)substituted alkyl, cycloalkyl, aryl, or heteroaryl; X33 = a single bond, CH2, CO; X34 = a single bond, CH2; X35 = a single bond, CH2, O, S, alkyl-(un)substituted NH; provided that X34 and X35 are not simultaneously a single bond; R6 = H, alkyl; R8 = H, halo, alkyl, HO, (un)substituted alkoxy or alkylcarbamoyloxy], a prodrug thereof, or a pharmaceutically acceptable salt of any of these. The compds. I are selective serotonin reuptake inhibitors having an affinity for a serotonin 1A receptor. Thus, 55 mg triphosgene was added to a solution of 200 mg 3-[4-(2-bromo-5-methoxybenzyl)piperidin-1-yl]-1-cyclohexylaminopropan-2-ol and 0.083 mL Et3N in 5 mL THF at room temperature and stirred for 6 h to give 100% 5-[[4-(2-bromo-5-methoxybenzyl)piperidin-1-yl]methyl]-3-cyclohexyloxazolidin-2-one. 2-[[4-(2-Bromo-5-chlorobenzyl)piperidin-1-yl]methyl]-1,2,3,4-tetrahydroquinoline dihydrochloride at 10-5 M increased by 74% the binding of [35S]GTPyS to CHO cell membrane expressing human 5-HT1A in the presence of 10 μ M serotonin (5-HT).

ST benzyl cyclic amine prepn serotonin reuptake inhibitor; benzylpiperidine prepn serotonin reuptake inhibitor; benzylpiperidinylmethylcyclohexyloxazolidine prepn serotonin reuptake inhibitor; benzylpiperidinylmethyltetrahydroquinoline prepn serotonin reuptake inhibitor; oxazolidine benzylpiperidinylmethyl cyclohexyl prepn serotonin reuptake inhibitor; tetrahydroquinoline benzylpiperidinylmethyl prepn serotonin reuptake inhibitor

IT 5-HT antagonists

(5-HT1A; preparation of benzyl cyclic amines such as benzylpiperidine derivs. as selective serotonin reuptake inhibitors)

IT Amines, preparation

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(cyclic; preparation of benzyl cyclic amines such as benzylpiperidine derivs. as selective serotonin reuptake inhibitors)

IT Human

(preparation of benzyl cyclic amines such as benzylpiperidine derivs. as selective serotonin reuptake inhibitors)

IT 552858-02-3P 552858-05-6P 552858-11-4P 552858-93-2P
552858-94-3P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of benzyl cyclic amines such as benzylpiperidine derivs. as selective serotonin reuptake inhibitors)

IT 552858-03-4P 552858-04-5P 552858-06-7P 552858-07-8P 552858-08-9P
552858-09-0P 552858-10-3P 552858-12-5P 552858-13-6P 552858-14-7P
552858-16-9P 552858-17-0P 552858-18-1P 552858-19-2P
552858-20-5P 552858-21-6P 552858-22-7P
552858-23-8P 552858-24-9P 552858-25-0P
552858-26-1P 552858-27-2P 552858-28-3P 552858-29-4P
552858-30-7P 552858-31-8P 552858-32-9P 552858-33-0P
552858-34-1P 552858-35-2P 552858-36-3P 552858-37-4P
552858-38-5P 552858-39-6P 552858-40-9P 552858-42-1P 552858-43-2P
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552858-49-8P 552858-51-2P 552858-53-4P 552858-55-6P 552858-56-7P
552858-57-8P 552858-58-9P 552858-59-0P 552858-60-3P 552858-61-4P
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552858-67-0P 552858-68-1P 552858-69-2P 552858-71-6P 552858-73-8P
552858-75-0P 552858-92-1P 552858-95-4P 552858-97-6P
552858-99-8P 552859-00-4P 552859-01-5P 552859-03-7P 552859-05-9P
552859-09-3P 552859-11-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzyl cyclic amines such as benzylpiperidine derivs. as selective serotonin reuptake inhibitors)

IT 79-03-8, Propionyl chloride 104-94-9, p-Anisidine 106-89-8,
Epichlorohydrin, reactions 108-94-1, Cyclohexanone, reactions
109-90-0, Ethyl isocyanate 122-52-1, Triethyl phosphite 124-63-0,
Methanesulfonyl chloride 540-51-2, 2-Bromoethanol 556-52-5, Glycidol
775-16-6, 1-Benzyl-3-pyrrolidinone 2901-44-2 2951-98-6 4355-11-7,
1,1-Cyclohexanediacyetic acid 24424-99-5, Di-tert-butyl dicarbonate
26628-22-8, Sodium azide 27060-75-9, 2-Bromo-5-methoxytoluene
32315-10-9, Triphosgene 41979-39-9, 4-Piperidone hydrochloride
46185-24-4, 1,2,3,4-Tetrahydroquinoline-2-carboxylic acid 121082-77-7
157688-46-5 552858-80-7 552858-85-2 552859-07-1

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of benzyl cyclic amines such as benzylpiperidine derivs. as selective serotonin reuptake inhibitors)

IT 3015-37-0P 19614-12-1P, 2-Bromo-5-methoxybenzyl bromide 42902-32-9P
79099-07-3P, N-tert-Butoxycarbonyl-4-piperidone 204245-65-8P
391954-24-8P 391954-25-9P 391954-26-0P 391954-27-1P 391954-28-2P
391954-30-6P 391954-31-7P 391954-32-8P 391954-33-9P 391957-02-1P
391957-03-2P 391957-04-3P 552858-81-8P 552858-82-9P 552858-83-0P
552858-84-1P 552858-86-3P 552858-87-4P 552858-88-5P 552858-89-6P
552858-90-9P 552858-91-0P 552858-96-5P 552858-98-7P 552859-02-6P
552859-04-8P 552859-06-0P 552859-10-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of benzyl cyclic amines such as benzylpiperidine derivs. as selective serotonin reuptake inhibitors)

IT 50-67-9, Serotonin, biological studies

RL: BSU (Biological study, unclassified); BIOL (Biological study)
(reuptake inhibitors; preparation of benzyl cyclic amines such as benzylpiperidine derivs. as selective serotonin reuptake inhibitors)

RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

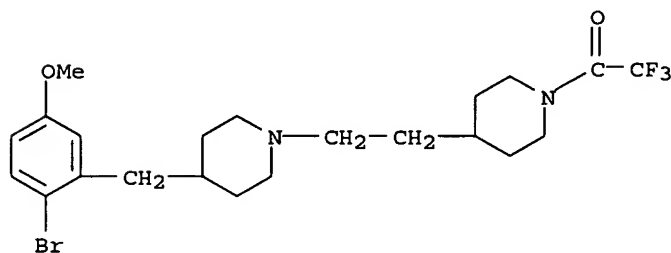
- (1) Bristol-Myers Squibb Co; WO 0044376 A1 2000 HCAPLUS
- (2) Bristol-Myers Squibb Co; AU 2712200 A 2000
- (3) Bristol-Myers Squibb Co; US 6225324 B1 2000 HCAPLUS
- (4) Bristol-Myers Squibb Co; BR 9916618 A 2000 HCAPLUS
- (5) Meiji Seika Kaisha Ltd; WO 9808816 A1 1998 HCAPLUS
- (6) Sumitomo Pharmaceuticals Co Ltd; JP 2001131149 A 2001 HCAPLUS
- (7) Sumitomo Pharmaceuticals Co Ltd; WO 0206231 A1 2002 HCAPLUS

IT 552858-94-3P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of benzyl cyclic amines such as benzylpiperidine derivs. as selective serotonin reuptake inhibitors)

RN 552858-94-3 HCAPLUS

CN Piperidine, 4-[2-[4-[(2-bromo-5-methoxyphenyl)methyl]-1-piperidinyl]ethyl]-1-(trifluoroacetyl)- (9CI) (CA INDEX NAME)

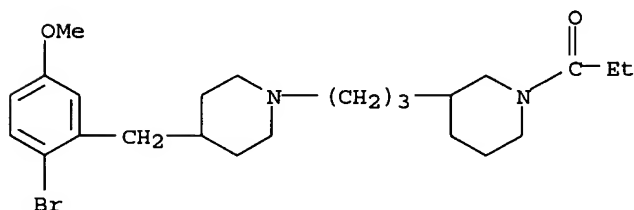


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552858-22-7P 552858-23-8P 552858-24-9P
552858-25-0P 552858-26-1P 552858-33-0P
552858-34-1P 552858-92-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzyl cyclic amines such as benzylpiperidine derivs. as selective serotonin reuptake inhibitors)

RN 552858-19-2 HCAPLUS

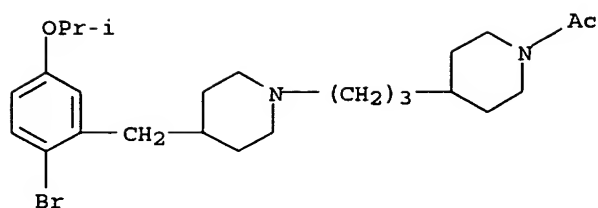
CN Piperidine, 3-[3-[4-[(2-bromo-5-methoxyphenyl)methyl]-1-piperidinyl]propyl]-1-(1-oxopropyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

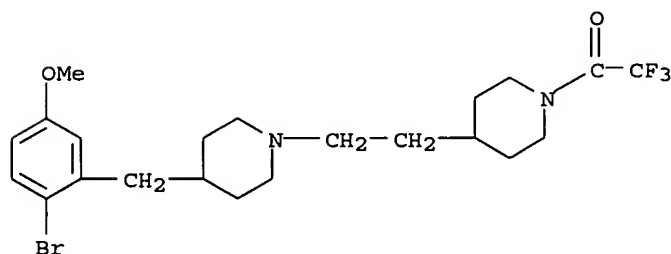
RN 552858-20-5 HCAPLUS

CN Piperidine, 1-acetyl-4-[3-[4-[(2-bromo-5-(1-methylethoxy)phenyl)methyl]-1-piperidinyl]propyl]-, monohydrochloride (9CI) (CA INDEX NAME)



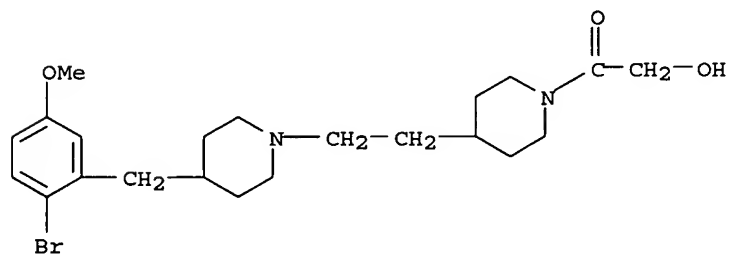
● HCl

RN 552858-21-6 HCAPLUS
CN Piperidine, 4-[2-[4-[(2-bromo-5-methoxyphenyl)methyl]-1-piperidinyl]ethyl]-1-(trifluoroacetyl)-, monohydrochloride (9CI) (CA INDEX NAME)



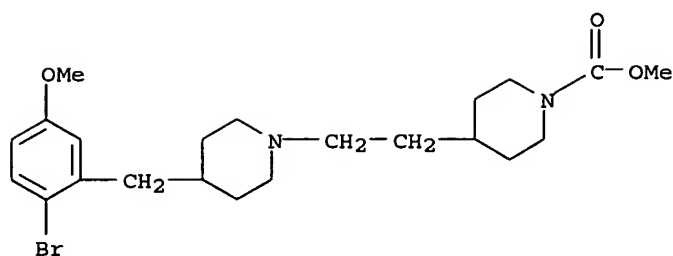
● HCl

RN 552858-22-7 HCAPLUS
CN Piperidine, 4-[2-[4-[(2-bromo-5-methoxyphenyl)methyl]-1-piperidinyl]ethyl]-1-(hydroxyacetyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

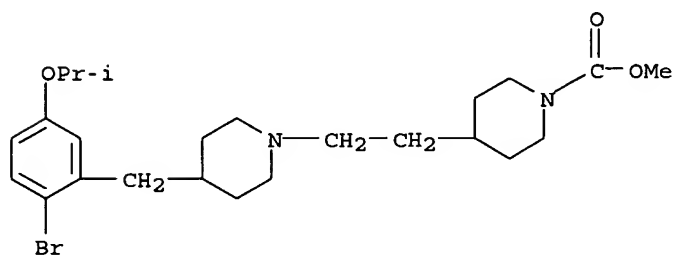
RN 552858-23-8 HCAPLUS
CN 1-Piperidinecarboxylic acid, 4-[2-[4-[(2-bromo-5-methoxyphenyl)methyl]-1-piperidinyl]ethyl]-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 552858-24-9 HCAPLUS

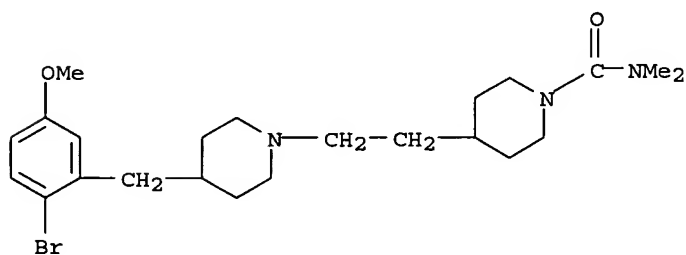
CN 1-Piperidinecarboxylic acid, 4-[2-[4-[[2-bromo-5-(1-methylethoxy)phenyl]methyl]-1-piperidinyl]ethyl]-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 552858-25-0 HCAPLUS

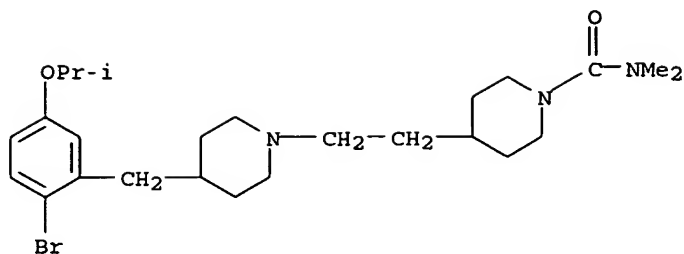
CN 1-Piperidinecarboxamide, 4-[2-[4-[(2-bromo-5-methoxyphenyl)methyl]-1-piperidinyl]ethyl]-N,N-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

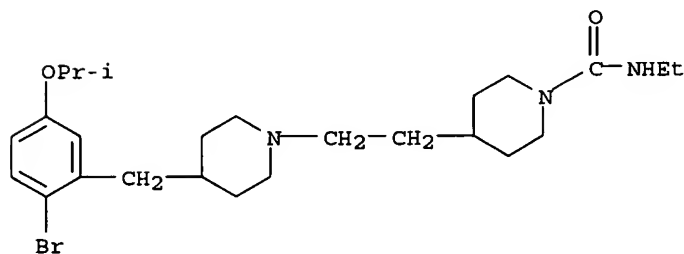
RN 552858-26-1 HCAPLUS

CN 1-Piperidinecarboxamide, 4-[2-[4-[[2-bromo-5-(1-methylethoxy)phenyl]methyl]-1-piperidinyl]ethyl]-N,N-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)



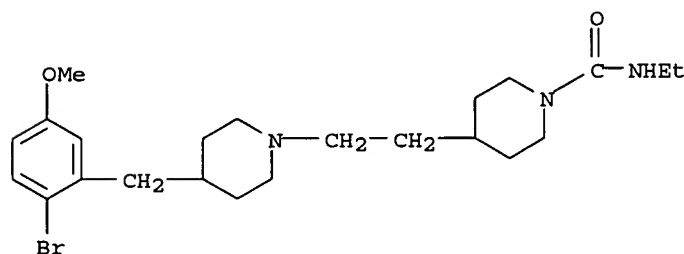
● HCl

RN 552858-33-0 HCAPLUS
 CN 1-Piperidinecarboxamide, 4-[2-[4-[[2-bromo-5-(1-methylethoxy)phenyl]methyl]-1-piperidinyl]ethyl]-N-ethyl-, monohydrochloride (9CI) (CA INDEX NAME)



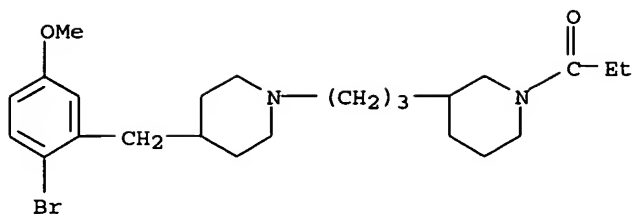
● HCl

RN 552858-34-1 HCAPLUS
 CN 1-Piperidinecarboxamide, 4-[2-[4-[(2-bromo-5-methoxyphenyl)methyl]-1-piperidinyl]ethyl]-N-ethyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 552858-92-1 HCAPLUS
 CN Piperidine, 3-[3-[4-[(2-bromo-5-methoxyphenyl)methyl]-1-piperidinyl]propyl]-1-(1-oxopropyl)- (9CI) (CA INDEX NAME)



L39 ANSWER 3 OF 4 HCAPLUS COPYRIGHT 2005 ACS on STN
 AN 1999:34578 HCAPLUS
 DN 130:139257
 ED Entered STN: 19 Jan 1999
 TI Preparation of 4-amino-5-halo-2-alkoxy-N-(4-piperidinylalkyl or
 4-piperidinylcarbonyl)benzamides for improving digestive tract function
 IN Kato, Shiro; Harada, Hiroshi; Toyotomi, Yoshihito; Yoshida, Naoyuki;
 Morikage, Yukiko
 PA Dainippon Pharmaceutical Co., Ltd., Japan
 SO Jpn. Kokai Tokkyo Koho, 29 pp.
 CODEN: JKXXAF
 DT Patent
 LA Japanese
 IC ICM C07D207-09
 ICS A61K031-445; A61K031-535; C07D207-14; C07D211-34; C07D211-58;
 C07D401-06; C07D413-14; A61K031-40
 CC 27-16 (Heterocyclic Compounds (One Hetero Atom))
 Section cross-reference(s): 1, 63

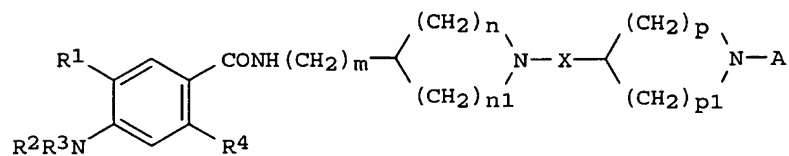
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PRAI	JP 1996-134388	A	19960430	<--	
	JP 1997-114430	A	19970415	<--	

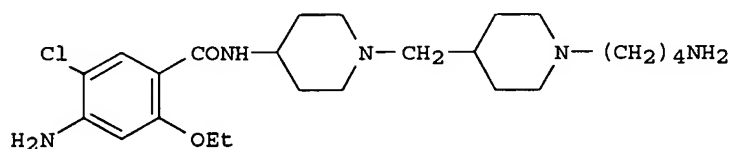
CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
JP 11001472	ICM	C07D207-09
	ICS	A61K031-445; A61K031-535; C07D207-14; C07D211-34; C07D211-58; C07D401-06; C07D413-14; A61K031-40

OS MARPAT 130:139257
 GI



I



II

AB The title compds. [I; R1 = halo; R2 = H, lower alkyl; R3 = H, lower alkyl

or alkanoyl; R4 = lower alkoxy; n = 1,2; n1 = 2,3; p = 1,2; p1 = 2,3; m = 0,1,2; X = (CH2)r, CO(CH2)s; wherein r = 1,2; s = 0,1; A = (CH2)tCR5aR5b(CH2)qNR6R7, CO(CH2)uCR5aR5b(CH2)qNR6R7; wherein t = 1,2,3; q = 0,1,2,3; u = 0,1,2; R5a = H, lower alkyl, HO, lower hydroxyalkyl, lower alkoxy, lower alkoxy-lower alkyl, (un)substituted NH2, etc.; R5b = H, lower alkyl; R6 = H, lower alkyl, lower alkylsulfonyl; R7 = H, lower alkyl; or R5a and R6 are joined together to form pyrrolidine, piperidine, hexahydroazepine, or morpholine ring; or R6 and R7 are joined together to form pyrrolidine, piperidine, hexahydroazepine, or optionally N-lower alkyl-substituted piperazine] are prepared Also claimed is an improver for digestive tract function containing above compds. I. These compds. show potent affinity to and potent agonist activity on serotonin 4 (5-HT4) receptor and are useful for the treatment and prevention of digestive tract function disorders accompanied by various diseases or therapies. Thus, 4-amino-5-chloro-2-ethoxybenzoic acid was condensed with 4-amino-1-[1-(4-phthalimidobutyl)-4-piperidinylmethyl]piperidine using 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride and Et3N in CH2Cl2 at room temperature for 3 h, followed by treatment with hydrazine in ethanol under reflux and salt formation with fumaric acid, to give the title compound (II fumarate). II fumarate showed IC50 of 1.0 nM for inhibiting the binding of [3H]-GR113808 to 5-HT4 receptor preparation from Std-Hartley guinea pig's brain. Tablet, dispersant, and injection formulations containing I were given.

ST aminohaloalkoxypiperidinylalkylbenzamide prepn digestive tract function improver; aminohaloalkoxypiperidinylcarbonylbenzamide prepn digestive tract function improver; benzamide amino halo alkoxy piperidinylalkyl prepn; piperidine prepn serotonin 4 receptor agonist

IT 5-HT receptors

RL: BPR (Biological process); BSU (Biological study, unclassified); MSC (Miscellaneous); BIOL (Biological study); PROC (Process)

(5-HT4, agonists; preparation of aminohaloalkoxy-N-(piperidinylalkyl or piperidinylcarbonyl)benzamides as serotonin 4 receptor agonists for improving digestive tract function)

IT Digestive tract

(preparation of aminohaloalkoxy-N-(piperidinylalkyl or piperidinylcarbonyl)benzamides as serotonin 4 receptor agonists for improving digestive tract function)

IT	220027-00-9P	220027-03-2P	220027-06-5P	220027-09-8P	220027-13-4P
	220027-17-8P	220027-20-3P	220027-22-5P	220027-29-2P	220027-33-8P
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	220027-67-8P	220027-74-7P	220027-79-2P	220027-86-1P	220027-92-9P
	220027-96-3P	220028-04-6P	220028-11-5P	220028-14-8P	220028-16-0P
	220028-17-1P	220028-20-6P	220028-25-1P	220028-31-9P	220028-38-6P
	220028-40-0P	220028-42-2P	220028-46-6P	220028-49-9P	220028-52-4P
	220028-58-0P	220028-62-6P	220028-68-2P	220028-73-9P	220028-76-2P
	220028-78-4P	220028-82-0P	220028-84-2P	220028-90-0P	220028-96-6P
	220029-02-7P	220029-10-7P	220029-16-3P	220029-22-1P	220029-29-8P
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	220030-81-9P	220030-92-2P	220031-01-6P	220031-09-4P	220031-20-9P
	220031-25-4P	220031-30-1P	220031-34-5P	220031-39-0P	220031-47-0P
	220031-51-6P	220031-57-2P			

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of aminohaloalkoxy-N-(piperidinylalkyl or piperidinylcarbonyl)benzamides as serotonin 4 receptor agonists for improving digestive tract function)

IT 79-04-9, Chloroacetyl chloride 100-39-0, Benzyl bromide 1118-68-9, N,N-Dimethylglycine 4138-26-5, Nipecotamide 4530-20-5 5394-18-3, N-(4-Bromobutyl)phthalimide 5455-98-1, N-(2,3-Epoxypropyl)phthalimide 5460-29-7, N-(3-Bromopropyl)phthalimide 6094-36-6, N-Benzoyl-L-glutamic acid 7206-70-4 10314-98-4, 1-(Benzyloxycarbonyl)-4-piperidinecarboxylic acid 13574-13-5, N-tert-Butoxycarbonyl-L-glutamic

acid 5-benzyl ester 18162-48-6, tert-Butyldimethylsilyl chloride
 24424-99-5, Di-tert-butyl dicarbonate 50541-93-0, 4-Amino-1-
 benzylpiperidine 55878-80-3 57294-38-9, 4-((tert-
 Butoxycarbonyl)amino)butyric acid 61694-98-2, 5-Chloro-2-methoxy-4-
 (methylamino)benzoic acid 69489-07-2, 4-((tert-Butoxycarbonyl)amino)-3-
 hydroxybutyric acid 72086-72-7 78190-11-1,
 1-(Benzyloxycarbonyl)-3-piperidinecarboxylic acid 99724-19-3,
 3-((tert-Butoxycarbonyl)amino)pyrrolidine 108282-38-8,
 4-Amino-5-chloro-2-ethoxybenzoic acid 130853-32-6, 2,4-Bis((tert-
 butoxycarbonyl)amino)butyric acid 144222-22-0, 4-(Aminomethyl)-1-(tert-
 butoxycarbonyl)piperidine 220033-12-5, 5-Chloro-2-(isopropoxy)-4-
 methylbenzoic acid

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of aminohaloalkoxy-N-(piperidinylalkyl or
 piperidinylcarbonyl)benzamides as serotonin 4 receptor agonists for
 improving digestive tract function)

IT 73874-95-0P, 4-((tert-Butoxycarbonyl)amino)piperidine 73889-19-7P,
 1-Benzyl-4-((tert-butoxycarbonyl)amino)piperidine 79069-62-8P, Benzyl
 (S)-4-((tert-butoxycarbonyl)amino)-5-hydroxyvalerate 94379-05-2P,
 1-Benzylpiperidone 110249-85-9P, 2-(Benzylamino)-1,5-pentanediol
 142643-29-6P, 3-[(tert-Butoxycarbonylamino)methyl]piperidine
 220031-61-8P, 1-Benzyl-3-[(tert-butoxycarbonylamino)methyl]piperidine
 220031-84-5P, 1-(Benzyloxycarbonyl)-3-[(tert-butoxycarbonylamino)methyl]pi
 peridine 220031-89-0P 220031-94-7P 220031-99-2P 220032-02-0P
 220032-07-5P 220032-11-1P 220032-14-4P 220032-18-8P 220032-21-3P
 220032-24-6P 220032-26-8P, 4-Amino-N-((4-piperidinyl)methyl)-5-chloro-2-
 methoxybenzamide 220032-30-4P 220032-32-6P 220032-34-8P
 220032-37-1P 220032-40-6P 220032-43-9P, 4-((tert-Butoxycarbonyl)amino)-
 1-((4-piperidinyl)methyl)piperidine 220032-45-1P 220032-47-3P
 220032-49-5P 220032-51-9P 220032-54-2P 220032-56-4P 220032-57-5P,
 1-Bromo-4-((tert-butoxycarbonyl)amino)-5-methoxypentane 220032-58-6P,
 2-(Tritylamino)-1,5-pentanediol 220032-60-0P, 5-((tert-
 Butyldimethylsilyl)oxy)-2-(tritylamino)-1-pentanol 220032-62-2P,
 1-((tert-Butyldimethylsilyl)oxy)-5-methoxy-4-(tritylamino)pentane
 220032-64-4P, 5-Methoxy-2-(tritylamino)-1-pentanol 220032-66-6P,
 4-Amino-5-methoxy-1-pentanol 220032-67-7P, 4-((tert-
 Butoxycarbonyl)amino)-5-methoxy-1-pentanol 220032-69-9P,
 3-(3-Bromopropyl)-4-(tert-butoxycarbonyl)morpholine 220032-73-5P,
 2-(N-Benzyl-N-(chloroacetyl)amino)-1,5-pentanediol 220032-74-6P,
 3-(4-Benzyl-5-oxo-3-morpholinyl)-1-propanol 220032-77-9P,
 3-(4-Benzyl-3-morpholinyl)-1-propanol 220032-79-1P, 3-(3-Morpholinyl)-1-
 propanol 220032-81-5P, 3-(4-(tert-Butoxycarbonyl)-3-morpholinyl)-1-
 propanol 220032-83-7P 220032-85-9P 220032-87-1P 220032-88-2P
 220032-89-3P 220032-90-6P 220032-92-8P 220032-94-0P
 220032-96-2P 220032-99-5P 220033-00-1P 220033-02-3P 220033-05-6P
 220033-06-7P 220033-08-9P 220033-09-0P 220033-10-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)

(preparation of aminohaloalkoxy-N-(piperidinylalkyl or
 piperidinylcarbonyl)benzamides as serotonin 4 receptor agonists for
 improving digestive tract function)

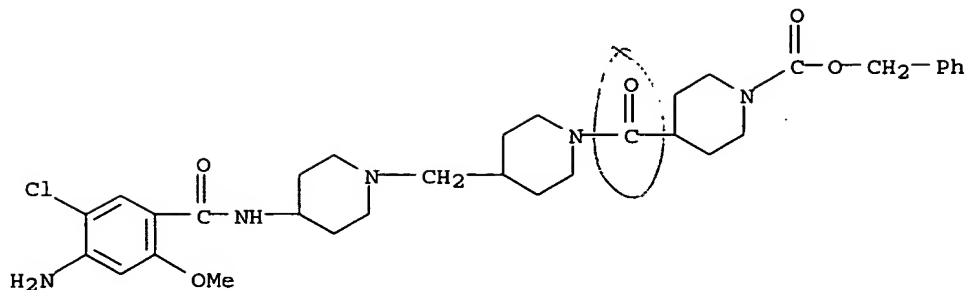
IT 220032-88-2P 220032-89-3P 220032-90-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)

(preparation of aminohaloalkoxy-N-(piperidinylalkyl or
 piperidinylcarbonyl)benzamides as serotonin 4 receptor agonists for
 improving digestive tract function)

RN 220032-88-2 HCAPLUS

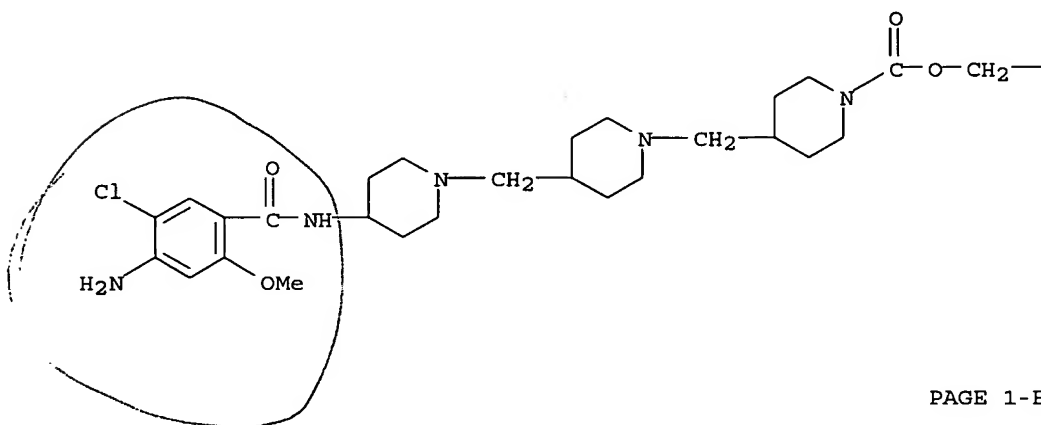
CN 1-Piperidinecarboxylic acid, 4-[[4-[[4-[[4-amino-5-chloro-2-
 methoxybenzoyl)amino]-1-piperidinyl]methyl]-1-piperidinyl]carbonyl]-,
 phenylmethyl ester (9CI) (CA INDEX NAME)



RN 220032-89-3 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[4-[[4-[(4-amino-5-chloro-2-methoxybenzoyl)amino]-1-piperidinyl]methyl]-1-piperidinyl]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

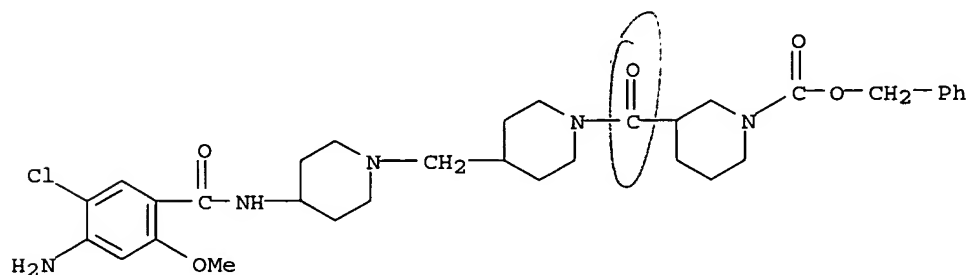


PAGE 1-B

— Ph

RN 220032-90-6 HCAPLUS

CN 1-Piperidinecarboxylic acid, 3-[[4-[[4-[(4-amino-5-chloro-2-methoxybenzoyl)amino]-1-piperidinyl]methyl]-1-piperidinyl]carbonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



L39 ANSWER 4 OF 4 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 1993:124405 HCAPLUS

DN 118:124405

ED Entered STN: 30 Mar 1993
 TI Preparation of 1-aralk(ano)yl-3-aryl-3-(piperidinoalkyl)piperidines and
 analogs as substance P and neurokinin antagonists
 IN Goulaouic, Pierre; Emonds-Alt, Xavier; Gueule, Patrick; Proietto, Vincenzo
 PA Elf Sanofi SA, Fr.
 SO Eur. Pat. Appl., 75 pp.
 CODEN: EPXXDW
 DT Patent
 LA French
 IC ICM C07D211-76
 ICS C07D211-52; C07D211-26; C07D211-22; C07D405-12; C07D401-06;
 C07D207-08; A61K031-445
 CC 27-16 (Heterocyclic Compounds (One Hetero Atom))
 Section cross-reference(s): 1

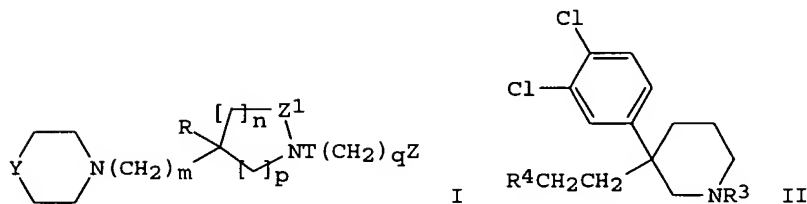
FAN.CNT 1

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	FR 2676055	A1	19921106	FR 1991-5487	19910503 <--
	FR 2676055	B1	19930903		
	NO 9201734	A	19921104	NO 1992-1734	19920430 <--
	NO 178573	B	19960115		
	NO 178573	C	19960424		
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	HU 61539	A2	19930128	HU 1992-1458	19920430 <--
	RU 2083574	C1	19970710	RU 1992-5011707	19920430 <--
	FI 101299	B1	19980529	FI 1992-1951	19920430 <--
	AT 181550	E	19990715	AT 1992-401235	19920430 <--
	CZ 285409	B6	19990811	CZ 1992-1329	19920430 <--
	ES 2137176	T3	19991216	ES 1992-401235	19920430 <--
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	CA 2067877	C	20020212		
	AU 9215916	A1	19921105	AU 1992-15916	19920501 <--
	AU 652046	B2	19940811		
	IL 101760	A1	19970218	IL 1992-101760	19920501 <--
	IL 117921	A1	19970218	IL 1992-117921	19920501 <--
	BR 9201656	A	19921215	BR 1992-1656	19920504 <--
	US 5340822	A	19940823	US 1992-878710	19920504 <--
	JP 05186425	A2	19930727	JP 1992-113820	19920506 <--
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	FI 101298	B1	19980529		
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	FI 114635	B1	20041130		
	US 5625060	A	19970429	US 1995-463270	19950605 <--
	HK 1005138	A1	20000512	HK 1998-104344	19980519 <--
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	FI 1992-1951	A	19920430	<--	
	IL 1992-101760	A3	19920501	<--	
	US 1992-878710	A3	19920504	<--	
	US 1994-261269	A3	19940615	<--	

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
EP 512901	ICM	C07D211-76
	ICS	C07D211-52; C07D211-26; C07D211-22; C07D405-12; C07D401-06; C07D207-08; A61K031-445
EP 512901	ECLA	C07D207/08A; C07D207/26C; C07D211/22; C07D211/24; C07D211/26; C07D211/34; C07D211/52; C07D211/76; C07D401/06+211+207; C07D401/06+211+205; C07D405/12+309+207; C07D405/12+309+205; C07D405/12+309+211
US 5340822	NCL	514/316.000; 514/212.080; 514/217.050; 514/235.500;

514/235.800; 514/252.180; 514/252.190; 514/253.010;
 514/253.090; 514/253.110; 514/253.120; 514/254.010;
 514/256.000; 514/318.000; 514/326.000; 514/327.000;
 514/330.000; 514/331.000; 540/524.000; 540/597.000;
 540/598.000; 544/121.000; 544/122.000; 544/129.000;
 544/333.000; 544/357.000; 544/359.000; 544/360.000;
 544/365.000; 544/372.000; 546/186.000; 546/187.000;
 546/188.000; 546/189.000; 546/190.000; 546/191.000;
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 544/359.000; 544/360.000; 544/365.000; 544/372.000;
 546/186.000; 546/188.000; 546/189.000; 546/190.000;
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 C07D401/06+211+205; C07D401/06+211+207;
 C07D405/12+309+205; C07D405/12+309+207;
 C07D405/12+309+211 <--
 OS MARPAT 118:124405
 GI



AB Title compds. [I; R = Ph, (benzo)thienyl, naphthyl, indolyl, etc.; T, Z1 = CO, CH2; Y = NR1, CX(CH2)xR2; R1 = Ph, PhCH2, cycloalkyl(methyl), pyridyl(methyl), etc.; R2 = Ph, pyridyl, thienyl; X = H, OH, alkoxy, acyloxy, CO2H, etc.; Z = Ph, naphthyl, pyridyl, thienyl, etc.; n, q = 0-3; p = 1, 2; x = 0, 1] were prepared Thus, 3,4-Cl2C6H3CH2CN was condensed with 2-(2-bromoethoxy)tetrahydropyran and the product condensed with BrCH2CH2CO2Et to give, after cyclization and reduction, piperidine II (R3 = H, R4 = tetrahydropyranyloxy) which was N-acetylated with PhCH2CO2H and the product converted to II (R3 = COCH2Ph) (III; R4 = OSO2Me). The latter was condensed with 4-benzylpiperidine to give III (R4 = 4-benzylpiperidino) which had Ki of 8.3 nM for antagonism of substance P binding in vitro.

ST piperidinoalkylpiperidine aralkanoyl prepn neurokinin antagonist;
 substance P antagonist aralkanoylpiperidinoalkylpiperidine prepn

IT 33507-63-0, Substance P 86933-74-6, Neurokinin A 86933-75-7
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (antagonists of, N-[aralk(ano)yl]aryl(piperidinoalkyl)piperidines and analogs as)

IT 146396-09-0P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation and decomposition of, in preparation of neurokinin and substance P antagonists)

IT 75792-33-5P 109870-34-0P 135936-16-2P 146395-77-9P 146395-78-0P
 146395-79-1P 146395-80-4P 146395-81-5P 146395-82-6P 146395-83-7P
 146395-84-8P 146395-85-9P 146395-86-0P 146395-87-1P 146395-88-2P
 146395-89-3P 146395-91-7P 146395-92-8P 146395-94-0P 146395-95-1P
 146395-96-2P 146395-97-3P 146395-98-4P 146395-99-5P 146396-00-1P
 146396-01-2P 146396-02-3P 146396-03-4P 146396-05-6P 146396-06-7P
 146396-08-9P 146396-11-4P 146396-12-5P 146396-13-6P
 146396-14-7P 146396-15-8P 146396-16-9P 146396-17-0P 146396-18-1P
 146421-02-5P 152298-57-2P 178371-54-5P 476311-96-3P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (preparation and reaction of, in preparation of neurokinin and substance P
 antagonists)

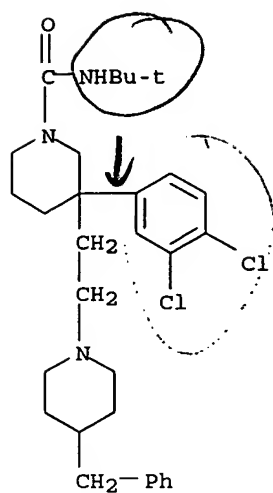
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 146366-42-9P 146366-43-0P 146366-44-1P
 146366-45-2P 146366-46-3P 146366-47-4P
 146366-48-5P 146366-49-6P 146366-50-9P 146366-51-0P
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 146366-70-3P 146366-71-4P 146366-72-5P
 146366-73-6P 146366-74-7P 146366-75-8P
 146366-76-9P 146366-77-0P 146366-78-1P
 146366-79-2P 146366-80-5P 146366-81-6P
 146366-82-7P 146366-83-8P 146395-71-3P 146395-72-4P
 146395-73-5P 146395-74-6P 146395-75-7P
 146395-76-8P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of, as neurokinin and substance P antagonist)

IT 75-30-9, 2-Iodopropane 98-88-4, Benzoyl chloride 100-39-0,
 Benzylbromide 100-83-4, 3-Hydroxybenzaldehyde 103-82-2, Phenylacetic
 acid, reactions 140-88-5 539-74-2, Ethyl 3-bromopropionate 824-98-6,
 3-Methoxybenzyl chloride 1798-09-0, 3-Methoxyphenylacetic acid
 1878-65-5, 3-Chlorophenylacetic acid 2969-81-5, Ethyl-4-bromobutyrate
 3218-49-3, 3,4-Dichlorophenylacetonitrile 7021-09-2 17739-45-6,
 2-(2-Bromoethoxy)tetrahydropyran 31252-42-3, 4-Benzylpiperidine
 32222-43-8 33821-94-2, 2-(3-Bromopropoxy)tetrahydropyran 40807-61-2,
 4-Hydroxy-4-phenylpiperidine 61008-98-8 109870-35-1 146031-94-9
 146395-90-6 146395-93-9 146396-04-5
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (reaction of, in preparation of neurokinin and substance P antagonists)

IT 146396-13-6P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (preparation and reaction of, in preparation of neurokinin and substance P
 antagonists)

RN 146396-13-6 HCAPLUS

CN 1-Piperidinecarboxamide, 3-(3,4-dichlorophenyl)-N-(1,1-dimethylethyl)-3-[2-
 [4-(phenylmethyl)-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

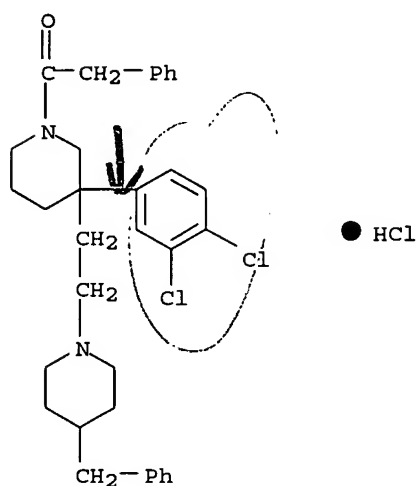


IT 146366-34-9P 146366-43-0P 146366-44-1P
 146366-45-2P 146366-46-3P 146366-47-4P
 146366-49-6P 146366-50-9P 146366-53-2P
 146366-54-3P 146366-55-4P 146366-57-6P
 146366-62-3P 146366-63-4P 146366-66-7P
 146366-67-8P 146366-68-9P 146366-69-0P
 146366-70-3P 146366-71-4P 146366-72-5P
 146366-73-6P 146366-74-7P 146366-76-9P
 146366-77-0P 146366-78-1P 146366-79-2P
 146366-80-5P 146366-81-6P 146366-82-7P
 146366-83-8P 146395-73-5P 146395-74-6P
 146395-75-7P 146395-76-8P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of, as neurokinin and substance P antagonist)

RN 146366-34-9 HCAPLUS

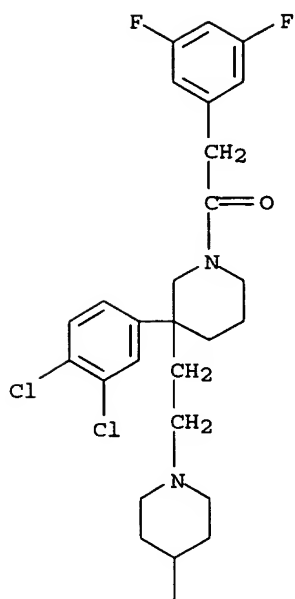
CN Piperidine, 3-(3,4-dichlorophenyl)-1-(phenylacetyl)-3-[2-[4-(phenylmethyl)-1-piperidinyl]ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



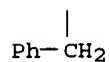
RN 146366-43-0 HCAPLUS

CN Piperidine, 3-(3,4-dichlorophenyl)-1-[(3,5-difluorophenyl)acetyl]-3-[2-[4-(phenylmethyl)-1-piperidinyl]ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



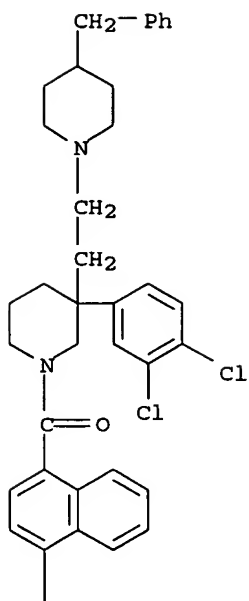
PAGE 2-A



● HCl

RN 146366-44-1 HCAPLUS
 CN Piperidine, 3-(3,4-dichlorophenyl)-1-[(4-fluoro-1-naphthalenyl)carbonyl]-3-[2-[4-(phenylmethyl)-1-piperidinyl]ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



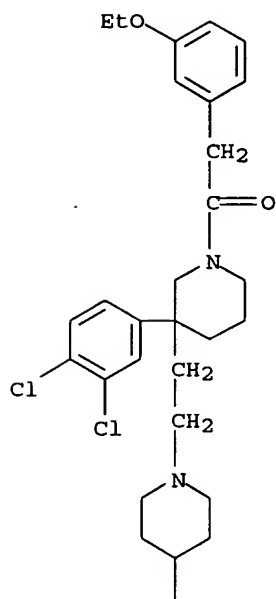
PAGE 2-A

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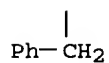
● HCl

RN 146366-45-2 HCAPLUS
 CN Piperidine, 3-(3,4-dichlorophenyl)-1-[(3-ethoxyphenyl)acetyl]-3-[2-[4-(phenylmethyl)-1-piperidinyl]ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



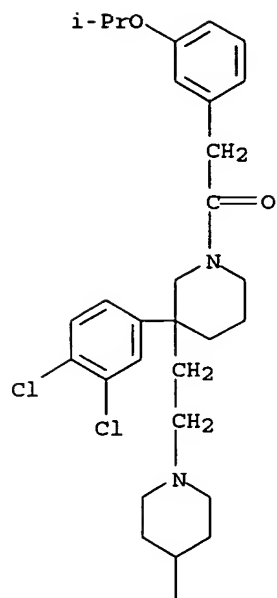
PAGE 2-A



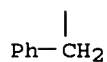
● HCl

RN 146366-46-3 HCAPLUS
 CN Piperidine, 3-(3,4-dichlorophenyl)-1-[[3-(1-methylethoxy)phenyl]acetyl]-3-[2-[4-(phenylmethyl)-1-piperidinyl]ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



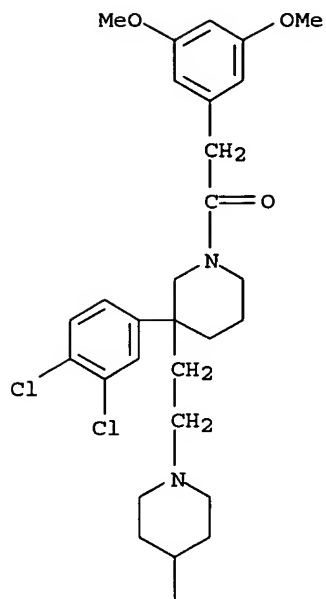
PAGE 2-A



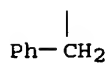
● HCl

RN 146366-47-4 HCAPLUS
 CN Piperidine, 3-(3,4-dichlorophenyl)-1-[(3,5-dimethoxyphenyl)acetyl]-3-[2-[4-(phenylmethyl)-1-piperidinyl]ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



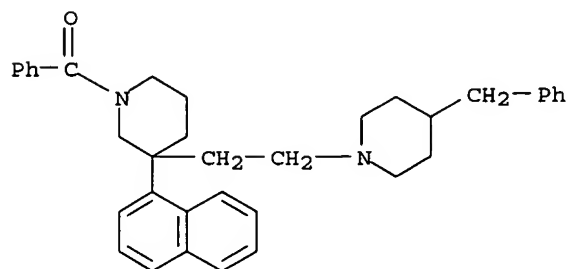
PAGE 2-A



● HCl

RN 146366-49-6 HCAPLUS

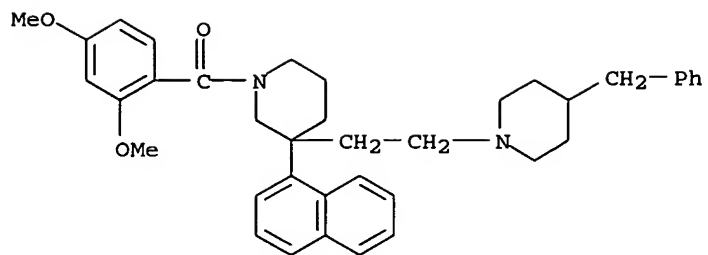
CN Piperidine, 1-benzoyl-3-(1-naphthalenyl)-3-[2-[4-(phenylmethyl)-1-piperidinyl]ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 146366-50-9 HCAPLUS

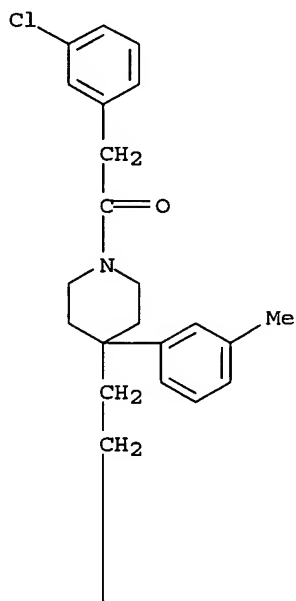
CN Piperidine, 1-(2,4-dimethoxybenzoyl)-3-(1-naphthalenyl)-3-[2-[4-(phenylmethyl)-1-piperidinyl]ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



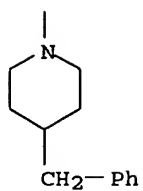
● HCl

RN 146366-53-2 HCAPLUS
 CN Piperidine, 1-[(3-chlorophenyl)acetyl]-4-(3-methylphenyl)-4-[2-[4-(phenylmethyl)-1-piperidinyl]ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



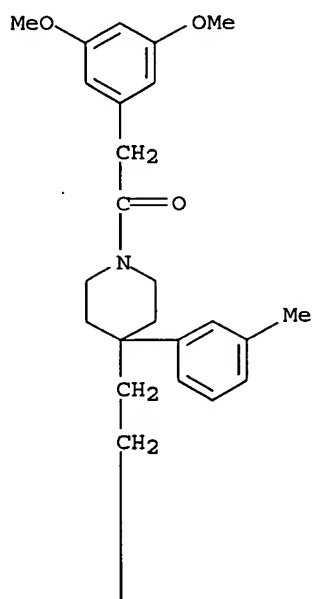
PAGE 2-A



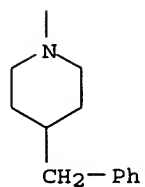
● HCl

RN 146366-54-3 HCAPLUS
 CN Piperidine, 1-[(3,5-dimethoxyphenyl)acetyl]-4-(3-methylphenyl)-4-[2-[4-(phenylmethyl)-1-piperidinyl]ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

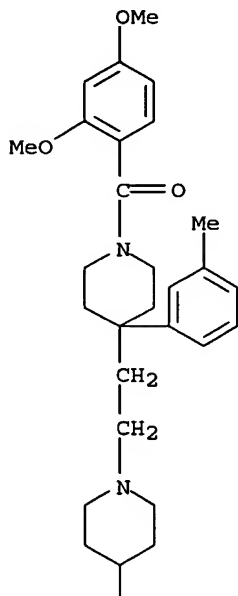


● HCl

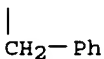
RN 146366-55-4 HCAPLUS

CN Piperidine, 1-(2,4-dimethoxybenzoyl)-4-(3-methylphenyl)-4-[2-[4-(phenylmethyl)-1-piperidinyl]ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A

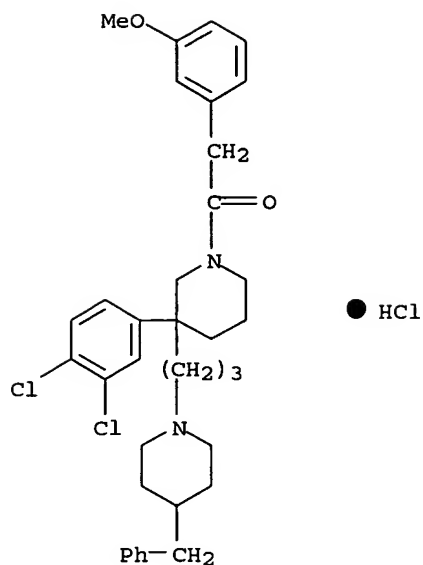


PAGE 2-A



● HCl

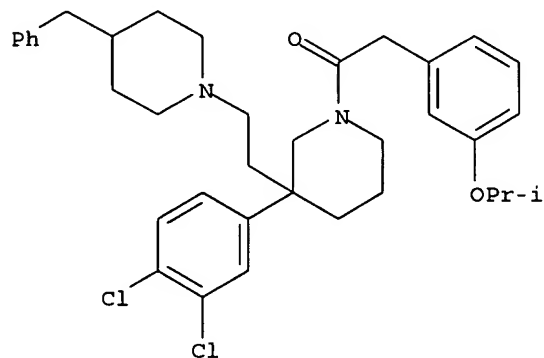
RN 146366-57-6 HCAPLUS
CN Piperidine, 3-(3,4-dichlorophenyl)-1-[(3-methoxyphenyl)acetyl]-3-[3-[4-(phenylmethyl)-1-piperidinyl]propyl]-, monohydrochloride (9CI) (CA INDEX NAME)



RN 146366-62-3 HCAPLUS

CN Piperidine, 3-(3,4-dichlorophenyl)-1-[[3-(1-methylethoxy)phenyl]acetyl]-3-[2-[4-(phenylmethyl)-1-piperidinyl]ethyl]-, monohydrochloride, (-)- (9CI)
(CA INDEX NAME)

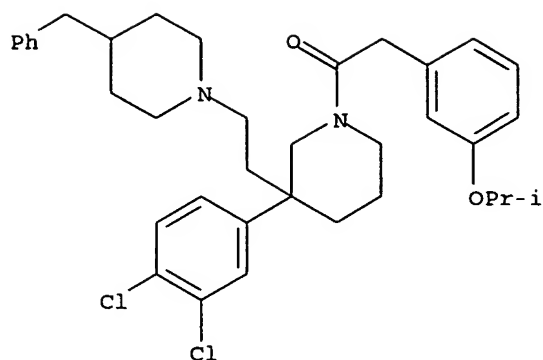
Rotation (-).



RN 146366-63-4 HCAPLUS

CN Piperidine, 3-(3,4-dichlorophenyl)-1-[[3-(1-methylethoxy)phenyl]acetyl]-3-[2-[4-(phenylmethyl)-1-piperidinyl]ethyl]-, monohydrochloride, (+)- (9CI)
(CA INDEX NAME)

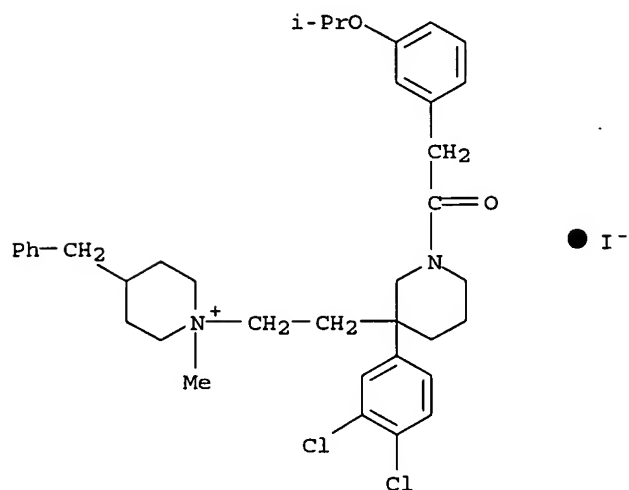
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● HCl

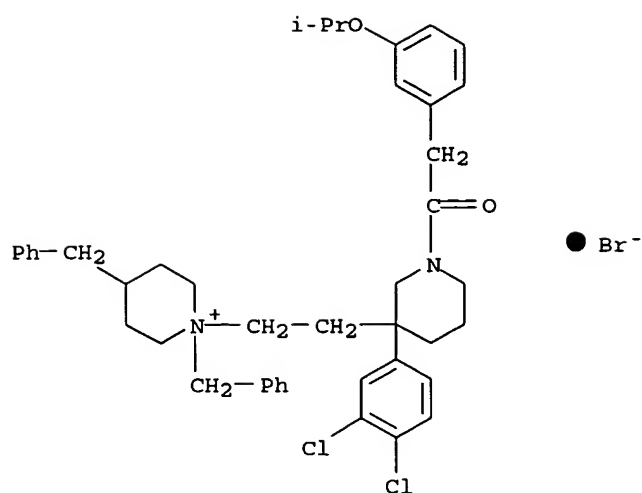
RN 146366-66-7 HCAPLUS

CN Piperidinium, 1-[2-[3-(3,4-dichlorophenyl)-1-[[3-(1-methylethoxy)phenyl]acetyl]-3-piperidinyl]ethyl]-1-methyl-4-(phenylmethyl)-, iodide (9CI) (CA INDEX NAME)



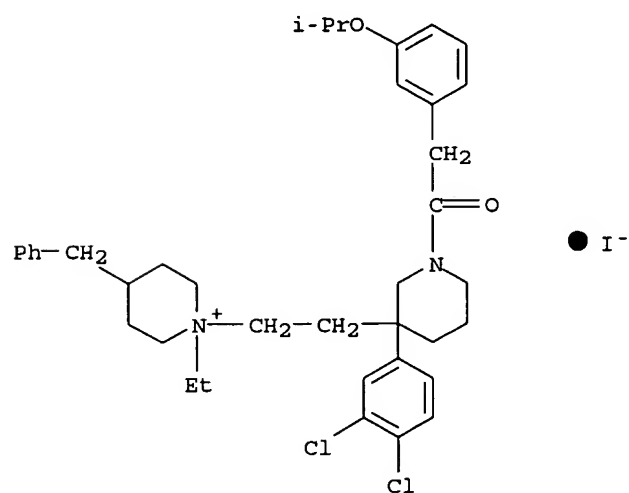
RN 146366-67-8 HCAPLUS

CN Piperidinium, 1-[2-[3-(3,4-dichlorophenyl)-1-[[3-(1-methylethoxy)phenyl]acetyl]-3-piperidinyl]ethyl]-1,4-bis(phenylmethyl)-, bromide (9CI) (CA INDEX NAME)



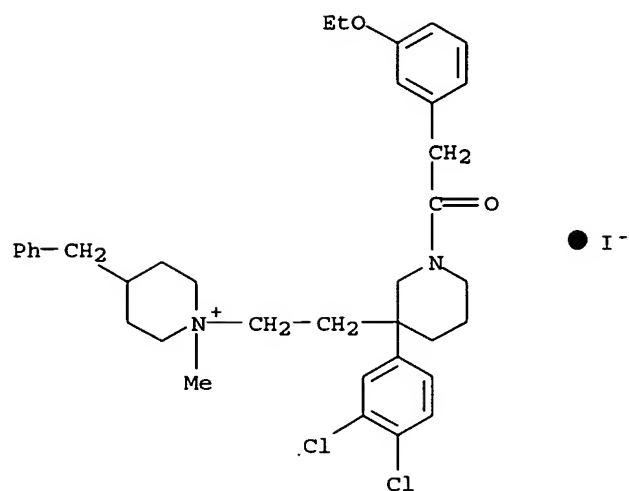
RN 146366-68-9 HCAPLUS

CN Piperidinium, 1-[2-[3-(3,4-dichlorophenyl)-1-[[3-(1-methylethoxy)phenyl]acetyl]-3-piperidinyl]ethyl]-1-ethyl-4-(phenylmethyl)-, iodide (9CI) (CA INDEX NAME)



RN 146366-69-0 HCAPLUS

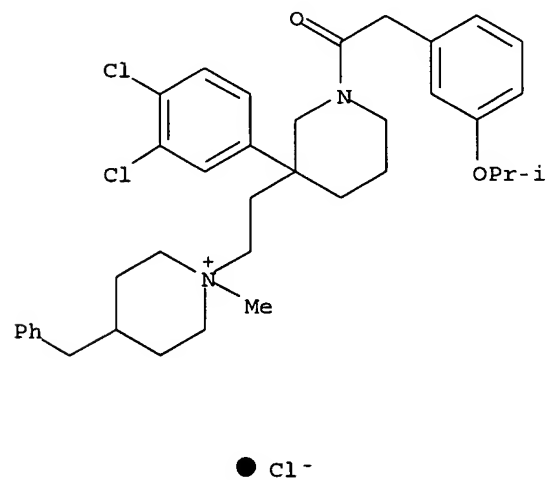
CN Piperidinium, 1-[2-[3-(3,4-dichlorophenyl)-1-[(3-ethoxyphenyl)acetyl]-3-piperidinyl]ethyl]-1-methyl-4-(phenylmethyl)-, iodide (9CI) (CA INDEX NAME)



RN 146366-70-3 HCAPLUS

CN Piperidinium, 1-[2-[3-(3,4-dichlorophenyl)-1-[[3-(1-methylethoxy)phenyl]acetyl]-3-piperidinyl]ethyl]-1-methyl-4-(phenylmethyl)-, chloride, (-)- (9CI) (CA INDEX NAME)

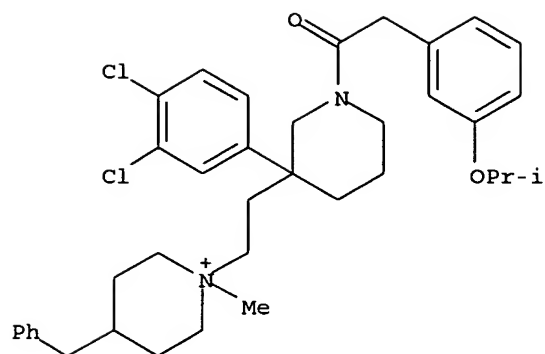
Rotation (-).



RN 146366-71-4 HCAPLUS

CN Piperidinium, 1-[2-[3-(3,4-dichlorophenyl)-1-[[3-(1-methylethoxy)phenyl]acetyl]-3-piperidinyl]ethyl]-1-methyl-4-(phenylmethyl)-, chloride, (+)- (9CI) (CA INDEX NAME)

Rotation (+).

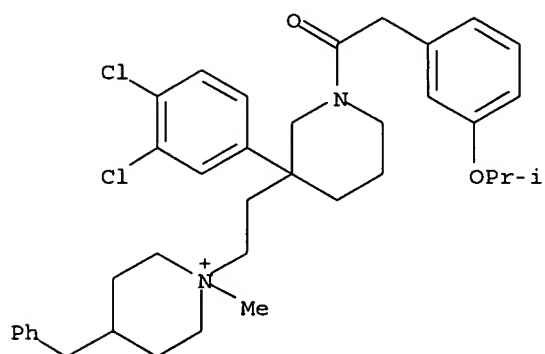


● Cl⁻

RN 146366-72-5 HCAPLUS

CN Piperidinium, 1-[2-[3-(3,4-dichlorophenyl)-1-[[3-(1-methylethoxy)phenyl]acetyl]-3-piperidinyl]ethyl]-1-methyl-4-(phenylmethyl)-, iodide, (-)-(9CI) (CA INDEX NAME)

Rotation (-).

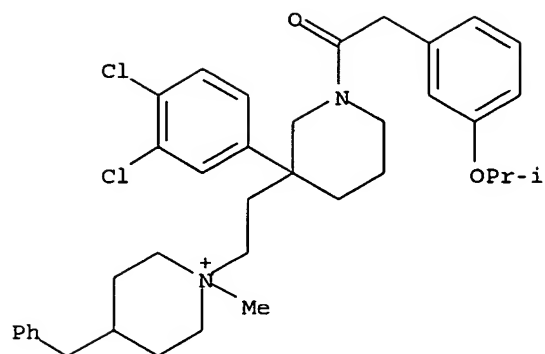


● I⁻

RN 146366-73-6 HCAPLUS

CN Piperidinium, 1-[2-[3-(3,4-dichlorophenyl)-1-[[3-(1-methylethoxy)phenyl]acetyl]-3-piperidinyl]ethyl]-1-methyl-4-(phenylmethyl)-, iodide, (+)-(9CI) (CA INDEX NAME)

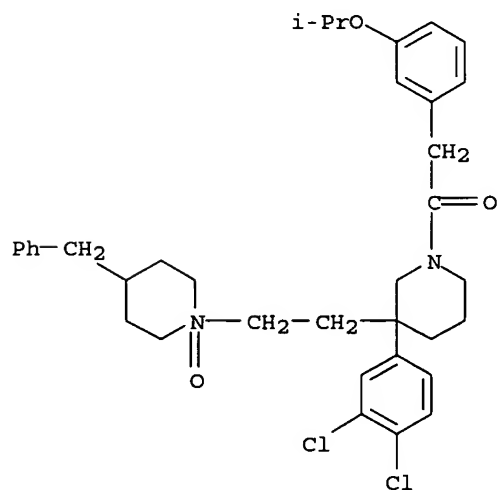
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● I⁻

RN 146366-74-7 HCAPLUS

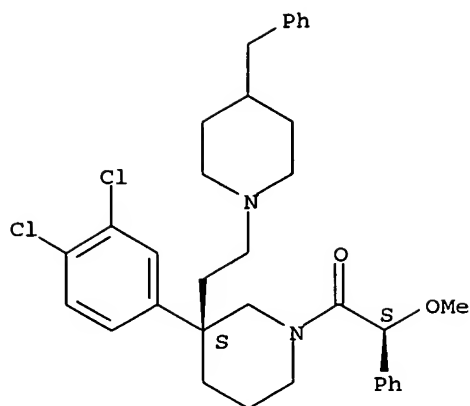
CN Piperidine, 3-(3,4-dichlorophenyl)-1-[[3-(1-methylethoxy)phenyl]acetyl]-3-[2-[1-oxido-4-(phenylmethyl)-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



RN 146366-76-9 HCAPLUS

CN Piperidine, 3-(3,4-dichlorophenyl)-1-(methoxyphenylacetyl)-3-[2-[4-(phenylmethyl)-1-piperidinyl]ethyl]-, monohydrochloride, (R*,R*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

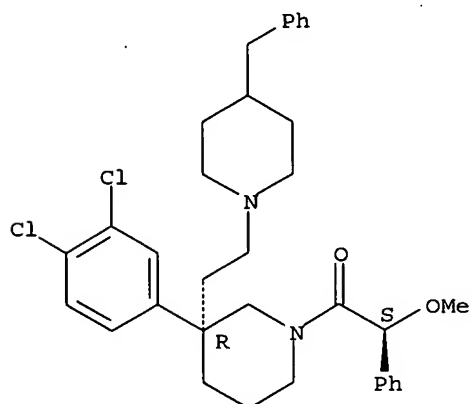


● HCl

RN 146366-77-0 HCAPLUS

CN Piperidine, 3-(3,4-dichlorophenyl)-1-(methoxyphenylacetyl)-3-[2-[4-(phenylmethyl)-1-piperidinyl]ethyl]-, monohydrochloride, (R*,S*)- (9CI)
(CA INDEX NAME)

Relative stereochemistry.

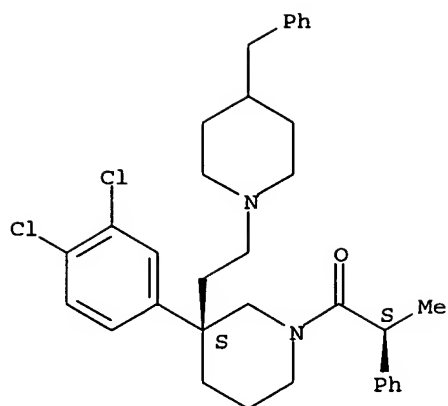


● HCl

RN 146366-78-1 HCAPLUS

CN Piperidine, 3-(3,4-dichlorophenyl)-1-(1-oxo-2-phenylpropyl)-3-[2-[4-(phenylmethyl)-1-piperidinyl]ethyl]-, monohydrochloride, (R*,R*)- (9CI)
(CA INDEX NAME)

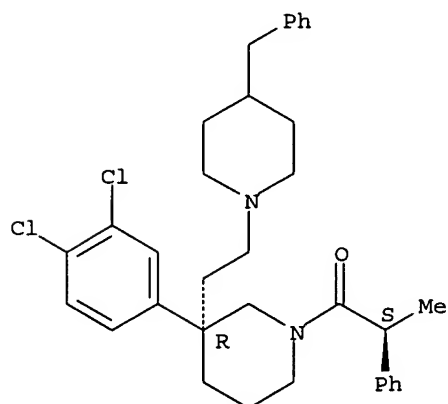
Relative stereochemistry.



● HCl

RN 146366-79-2 HCAPLUS
 CN Piperidine, 3-(3,4-dichlorophenyl)-1-(1-oxo-2-phenylpropyl)-3-[2-[4-(phenylmethyl)-1-piperidinyl]ethyl]-, monohydrochloride, (R*,S*)-(9CI)
 (CA INDEX NAME)

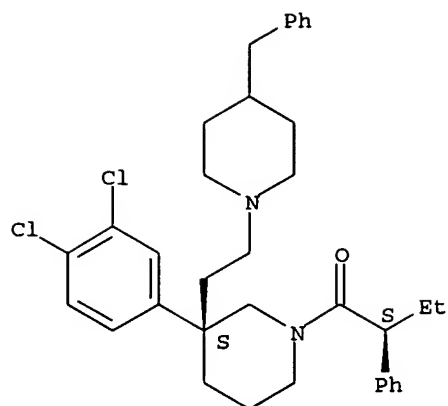
Relative stereochemistry.



● HCl

RN 146366-80-5 HCAPLUS
 CN Piperidine, 3-(3,4-dichlorophenyl)-1-(1-oxo-2-phenylbutyl)-3-[2-[4-(phenylmethyl)-1-piperidinyl]ethyl]-, monohydrochloride, (R*,R*)-(9CI)
 (CA INDEX NAME)

Relative stereochemistry.

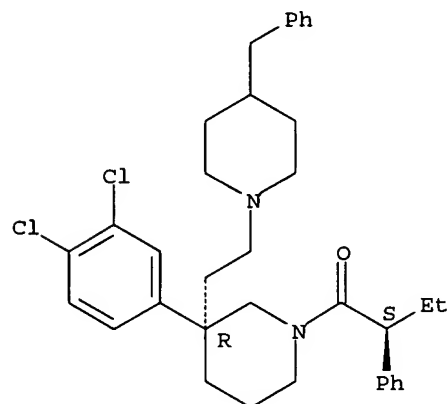


● HCl

RN 146366-81-6 HCAPLUS

CN Piperidine, 3-(3,4-dichlorophenyl)-1-(1-oxo-2-phenylbutyl)-3-[2-[4-(phenylmethyl)-1-piperidinyl]ethyl]-, monohydrochloride, (R*,S*)- (9CI)
(CA INDEX NAME)

Relative stereochemistry.

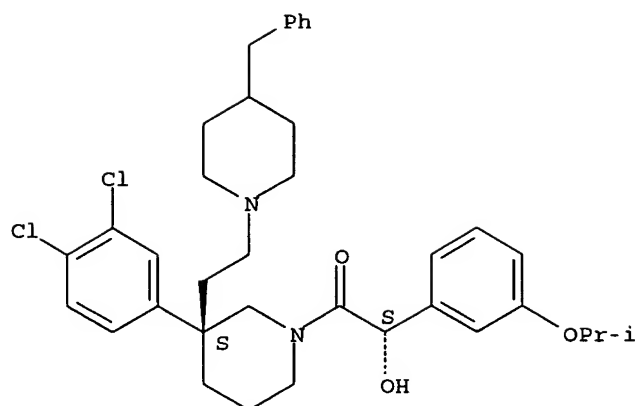


● HCl

RN 146366-82-7 HCAPLUS

CN Piperidine, 3-(3,4-dichlorophenyl)-1-[hydroxy[3-(1-methylethoxy)phenyl]acetyl]-3-[2-[4-(phenylmethyl)-1-piperidinyl]ethyl]-, monohydrochloride, (R*,R*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

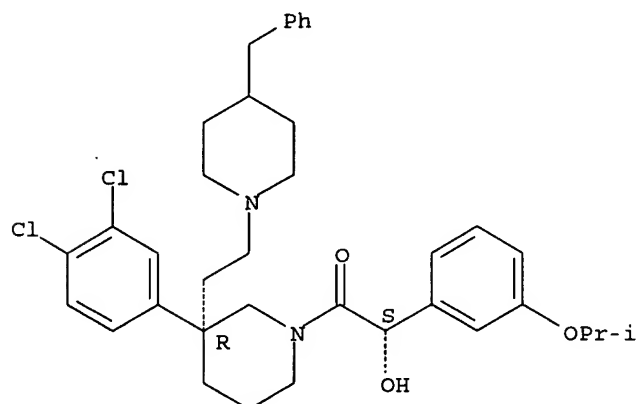


● HCl

RN 146366-83-8 HCAPLUS

CN Piperidine, 3-(3,4-dichlorophenyl)-1-[hydroxy[3-(1-methylethoxy)phenyl]acetyl]-3-[2-[4-(phenylmethyl)-1-piperidinyl]ethyl]-, monohydrochloride, (R*,S*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

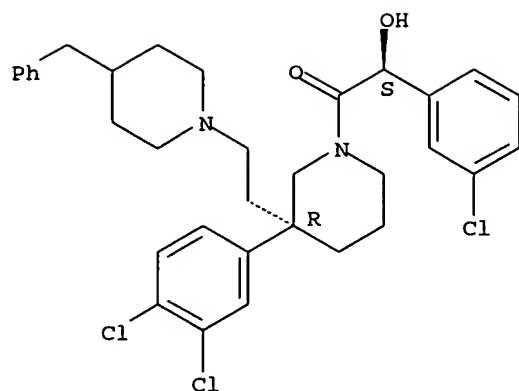


● HCl

RN 146395-73-5 HCAPLUS

CN Piperidine, 1-[(3-chlorophenyl)hydroxyacetyl]-3-(3,4-dichlorophenyl)-3-[2-[4-(phenylmethyl)-1-piperidinyl]ethyl]-, monohydrochloride, [S-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

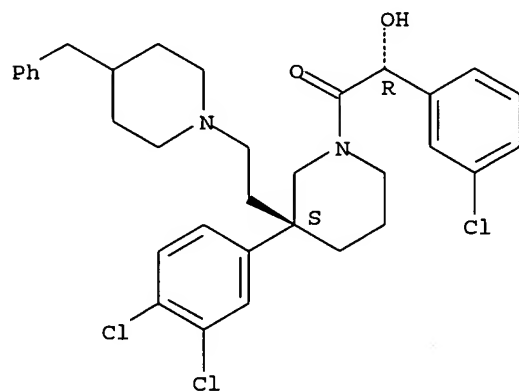


● HCl

RN 146395-74-6 HCAPLUS

CN Piperidine, 1-[(3-chlorophenyl)hydroxyacetyl]-3-(3,4-dichlorophenyl)-3-[2-[4-(phenylmethyl)-1-piperidinyl]ethyl]-, monohydrochloride, [R-(R*,S*)]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

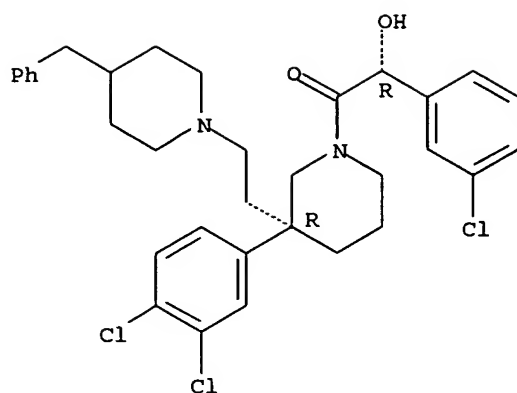


● HCl

RN 146395-75-7 HCAPLUS

CN Piperidine, 1-[(3-chlorophenyl)hydroxyacetyl]-3-(3,4-dichlorophenyl)-3-[2-[4-(phenylmethyl)-1-piperidinyl]ethyl]-, monohydrochloride, [R-(R*,R*)]-(9CI) (CA INDEX NAME)

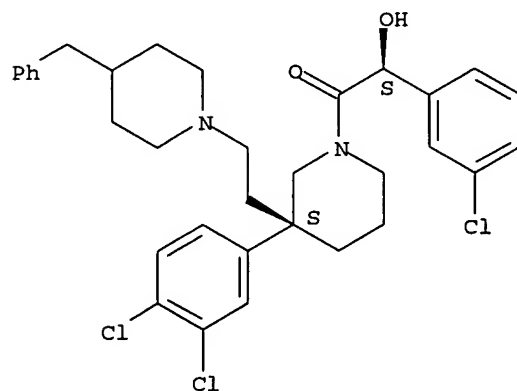
Absolute stereochemistry.



● HCl

RN 146395-76-8 HCAPLUS
 CN Piperidine, 1-[(3-chlorophenyl)hydroxyacetyl]-3-(3,4-dichlorophenyl)-3-[2-[4-(phenylmethyl)-1-piperidinyl]ethyl]-, monohydrochloride, [S-(R*,R*)]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

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